STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 153993

TO: Kevin Weddington **Location: rem/3a65/3c70** **Thursday, May 26, 2005** **Art Unit: 1614** **Case Serial Number: 10/737342**	**From: David Schreiber** **Location: Biotech-Chem Library** **Remsen E01A61** **Phone: 571-272-2526** **David.Schreiber@uspto.gov**

Search Notes

STIC SEARCH RESULTS FEEDBACK FORM

Biotech-Chem Library

Questions about the scope or the results of the search? Contact ***the searcher or contact:***

Mary Hale, Information Branch Supervisor
Remsen Bldg. 01 D86
571-272-2507

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* ☐ *Example: 1610*
- *Relevant prior art **found**, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

  Types of relevant prior art found:
  - ☐ Foreign Patent(s)
  - ☐ Non-Patent Literature
    (journal articles, conference proceedings, new product announcements etc.)
- *Relevant prior art **not found:***
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC-Biotech-Chem Library, Remsen Bldg.

REM-3670

Access DB# 153993

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: K. Weddington Examiner #: 68082 Date: 5-19-05
Art Unit: 1614 Phone Number ~~30~~ 272-0587 Serial Number: 10/737,342
Mail Box and Bldg/Room Location: 3A65 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need. MEY

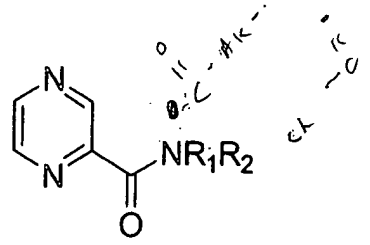
****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of invention: _____

Inventors (please provide full names): Milton B. Yatvin; Richard L. Pederson

Claim 1. (Currently amended) An antimycobacterial compound that is an inhibitor of a mycobacterium-specific enzyme, wherein the compound has the formula:



R_1 and R_2 can each independently be lower cycloalkyl, bridgehead cycloalkyl, N- or O- cyclized bridgehead cycloalkyl, cycloalkoxy, C_1 to C_{10} alkenyl comprising 1 to 3 alkenyl moieties (C=C), fatty acids, aryl or substituted aryl, benzyl or C_1 to C_{10} arylalkyl or substituted arylalkyl, heterocyclic aryl or arylalkyl, naphthyl, alkylamino, or halogenated derivatives thereof.

Claim 2. (Currently amended) The compound of claim 1 wherein R_1 or and R_2 is ~~methyl~~ lower cycloalkyl.

Claim 3. (Currently amended) The compound of claim 1 wherein R_1 or and R_2 is ~~ethyl~~ cycloalkoxy.

Claim 4. (Currently amended) The compound of claim 1 wherein R_1 or and R_2 is ~~methoxy~~ a fatty acid.

Claim 5. (Currently amended) The compound of claim 1 wherein R_1 or and R_2 is ~~ethoxy~~ aryl or substituted aryl.

Date Completed: 5/26 Litigation _____ Lexis/Nexis _____
Searcher Prep & Review Time: 23 Fulltext _____ Sequence Systems _____
Clerical Prep Time: _____ Patent Family _____ WWW/Internet _____
Online Time 153 Other _____ Other (specify) _____

PTO-1590 (8-01) search D. Schreiber structure 1 STN 986.56

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=> d his

     (FILE 'HOME' ENTERED AT 08:45:29 ON 26 MAY 2005)

     FILE 'HCAPLUS' ENTERED AT 08:45:39 ON 26 MAY 2005
L1           115 S YATVIN M?/AU
L2           216 S PEDERSON R?/AU
L3           325 S L1-L2
L4             5 S L3 AND ?MYCOBACTERI?
                 SELECT L4 RN 1-5

     FILE 'REGISTRY' ENTERED AT 08:48:19 ON 26 MAY 2005
L5            74 S E1-E74
L6             1 S L5 AND C7H7N3O3/MF
L7             1 S L5 AND C9H13N3O/MF
L8             1 S L5 AND C7H9N3O/MF
L9             1 S L5 AND C5H5N3O/MF
L10            4 S L6-L9

     FILE 'HCAPLUS' ENTERED AT 09:16:53 ON 26 MAY 2005

     FILE 'REGISTRY' ENTERED AT 09:19:01 ON 26 MAY 2005

     FILE 'HCAPLUS' ENTERED AT 09:22:37 ON 26 MAY 2005
L11            9 S BRIDGEHEAD(3A)CYCLOALKYL
L12            2 S L11 AND ALICYCLIC
                 SELECT L12 RN 1-2
                 DELETE SELECT
                 SELECT L12 RN 1-2

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L13           33 S E1-E33
L14           25 S L13 AND PENTALEN?

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L15            6 S L14
L16            3 S L15 AND BRIDGEHEAD

     FILE 'REGISTRY' ENTERED AT 09:32:05 ON 26 MAY 2005
L17              STR

     FILE 'HCAPLUS' ENTERED AT 09:37:23 ON 26 MAY 2005
L18         1027 S CYCLOALKOXY?
L19            3 S L18 AND CAMPTOTHECIN?
                 S 170368-60-2/REG#

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L20            1 S 170368-60-2/RN

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L21           50 S L17 SAM

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L22           19 S L21
L23            1 S L22 AND ?MYCOBACTERI?
                 SELECT L23 RN 1

     FILE 'REGISTRY' ENTERED AT 09:57:40 ON 26 MAY 2005
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L24          183 S E34-E216
L25        14819 S L17 FUL

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L26         7859 S L25
L27          420 S L26 AND ?MYCOBACTERI?

     FILE 'REGISTRY' ENTERED AT 10:02:44 ON 26 MAY 2005
L28              STR L17
L29           47 S L28 SAM SUB=L25
L30          965 S L28 FUL SUB=L25

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L31          250 S L30
L32            1 S L31 AND ?MYCOBACTER?

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L33              STR L28
L34           42 S L33 SAM SUB=L30
L35          872 S L33 FUL SUB=L30

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L36          231 S L35
L37            1 S L36 AND ?MYCOBACTERI?
L38            3 S L36 AND TUBERCUL?
L39          228 S L36 NOT (L37 OR L38)
L40          151 S L39 NOT (PY>2001 OR PRY>2001 OR AY>2001)
L41           14 S L40 AND (ANTIBIOTIC? OR ANTIBACTERIAL?)
L42            1 S L40 AND INHIBIT?(5A)ENZYM?
                 E MYCOBAC
                 E MYCOBACT/CT
L43           27 S E5+OLD,NT,RT,PFT
L44        25688 S E23+OLD,NT,RT,PFT
L45            0 S L40 AND (L43 OR L44)
L46          136 S L40 NOT (L41 OR L42)
L47           23 S L46 AND BACTERICID?
L48           46 S L4 OR L37 OR L38 OR L41 OR L42 OR L47

=> d que l48
L1           115 SEA FILE=HCAPLUS YATVIN M?/AU
L2           216 SEA FILE=HCAPLUS PEDERSON R?/AU
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L4             5 SEA FILE=HCAPLUS L3 AND ?MYCOBACTERI?
L17              STR
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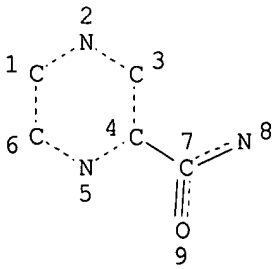


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NODE ATTRIBUTES:
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DEFAULT ECLEVEL IS LIMITED
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RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 9

STEREO ATTRIBUTES: NONE
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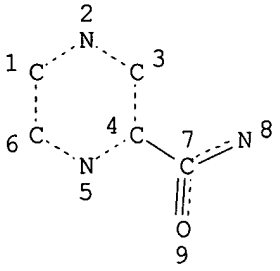
L28 STR



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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 9

STEREO ATTRIBUTES: NONE
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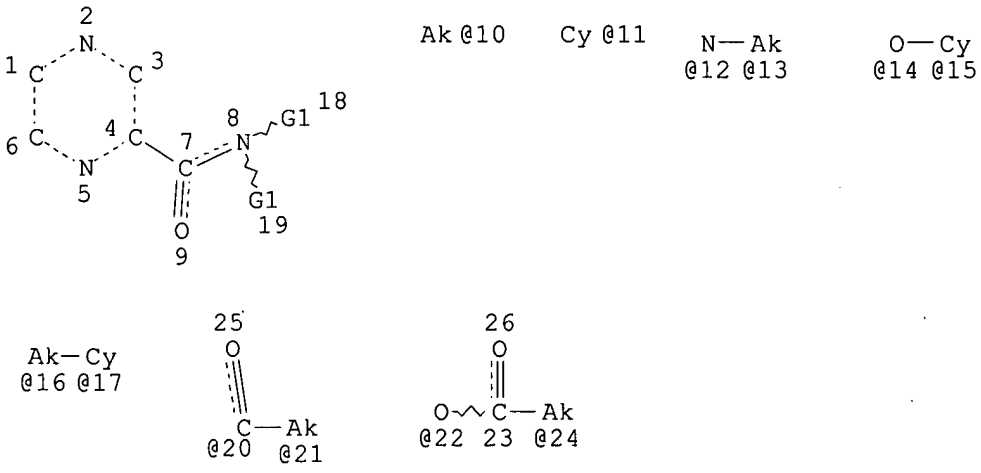
L33 STR



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NODE ATTRIBUTES:
CONNECT IS M3 RC AT 8
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 26

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L38           3 SEA FILE=HCAPLUS L36 AND TUBERCUL?
L39         228 SEA FILE=HCAPLUS L36 NOT (L37 OR L38)
L40         151 SEA FILE=HCAPLUS L39 NOT (PY>2001 OR PRY>2001 OR AY>2001)
L41          14 SEA FILE=HCAPLUS L40 AND (ANTIBIOTIC? OR ANTIBACTERIAL?)
L42           1 SEA FILE=HCAPLUS L40 AND INHIBIT?(5A)ENZYM?
L46         136 SEA FILE=HCAPLUS L40 NOT (L41 OR L42)
L47          23 SEA FILE=HCAPLUS L46 AND BACTERICID?
L48          46 SEA FILE=HCAPLUS L4 OR L37 OR L38 OR L41 OR L42 OR L47


=> d ibib abs hitstr l48 1-46

L48  ANSWER 1 OF 46  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2004:964815  HCAPLUS
DOCUMENT NUMBER:          141:374701
TITLE:                    Isoniazid-NAD analog anti-mycobacterial
                          compounds, their preparation, and pharmaceutical
                          compositions containing them
INVENTOR(S):              Yatvin, Milton B.; Pederson, Richard
                          L.
PATENT ASSIGNEE(S):       USA
SOURCE:                   U.S. Pat. Appl. Publ., 24 pp., Cont. of U.S. Ser. No.
                          613,408, abandoned.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     US 2004224918         A1    20041111    US 2004-776009          20040210
PRIORITY APPLN. INFO.:                       US 2000-613408      B1 20000711
OTHER SOURCE(S):          MARPAT 141:374701
GI
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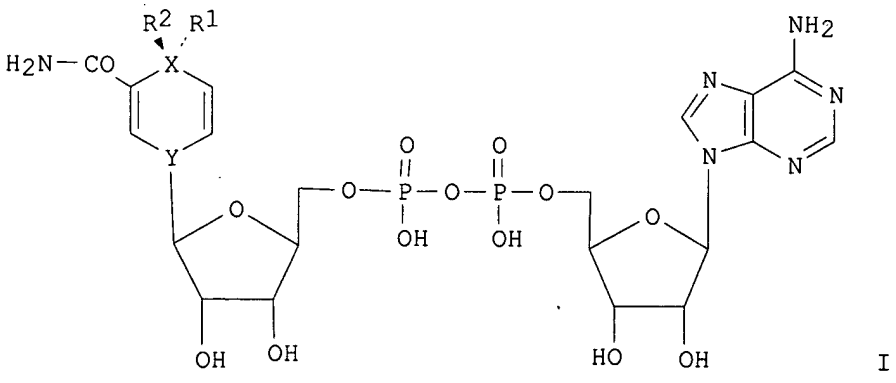


I

AB The invention provides compns. of matter, pharmaceutical compds., methods

of synthesizing such compds. and methods for using such compds. to treat animals infected with a pathogenic **mycobacterium**. Preparation of isoniazid-NAD analog compds. I, wherein X is C or O; Y is N or C; R1 and R2 are independently absent or H, CH3, CH2CH3, or O(CH3)3O or together are =O, =CH2, CH2CH2, =CH-CH=CH2, =CH-COOCH2CH3, CH2(CH2)3CH3 or OCH2, is described. The invention specifically provides compns. and pharmaceutical compns. thereof for the treatment of tuberculosis and other **Mycobacterium**-caused diseases. Thus, I (X is C, Y is N and each of R1 and R2 are Me) was prepared and tested as antibacterial agent.

L48 ANSWER 2 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:533975 HCAPLUS
DOCUMENT NUMBER: 141:76787
TITLE: **Antimycobacterial** compounds
INVENTOR(S): **Yatvin, Milton B.; Pederson, Richard L.**
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 9 pp., Cont. of U.S. Ser. No. 994,974.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004127506	A1	20040701	US 2003-737342	20031216
PRIORITY APPLN. INFO.:			US 2001-994974	A1 20011129

OTHER SOURCE(S): MARPAT 141:76787
AB This invention provides compns. of matter, pharmaceutical compds., methods of synthesizing such compds. and methods for using such compds. to treat animals infected with a pathogenic **mycobacterium**. The invention specifically provides compns. and pharmaceutical compns. thereof for the treatment of tuberculosis and other **Mycobacterium**-caused diseases.

L48 ANSWER 3 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:41604 HCAPLUS
DOCUMENT NUMBER: 140:105238
TITLE: Antibacterial inhibitors of Ftsz protein
INVENTOR(S): White, Lucile E.; Reynolds, Robert C.; Suling, William
PATENT ASSIGNEE(S): Southern Research Institute, USA
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005472	A2	20040115	WO 2003-US20984	20030702
WO 2004005472	A3	20040923		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2491680 AA 20040115 CA 2003-2491680 20030702
PRIORITY APPLN. INFO.: US 2002-393680P P 20020702
WO 2003-US20984 W 20030702

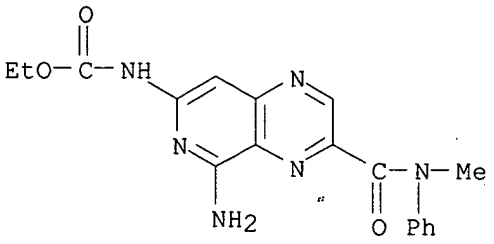
OTHER SOURCE(S): MARPAT 140:105238

AB The invention relates to inhibitors of FtsZ polymerization and uses thereof.

IT **83269-14-1**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitors of ftsz and uses thereof)

RN 83269-14-1 HCAPLUS

CN Carbamic acid, [5-amino-3-[(methylphenylamino)carbonyl]pyrido[3,4-b]pyrazin-7-yl]-, ethyl ester (9CI) (CA INDEX NAME)



L48 ANSWER 4 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:376561 HCAPLUS
DOCUMENT NUMBER: 138:362641
TITLE: **Antimycobacterial** pyrazinamide derivatives
INVENTOR(S): **Yatvin, Milton B.; Pederson, Richard**
PATENT ASSIGNEE(S): Enzrel, Inc., USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003039457	A2	20030515	WO 2002-US34985	20021101
WO 2003039457	A3	20031002		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003100569	A1	20030529	US 2001-993974	20011105
US 6664257	B2	20031216		

PRIORITY APPLN. INFO.: US 2001-993974 A 20011105

OTHER SOURCE(S): MARPAT 138:362641

AB Th invention provides compns. of matter, pharmaceutical compds., methods of synthesizing such compds., and methods for using such compds. to treat animals infected with a pathogenic **mycobacterium**. The invention specifically provides compns. and pharmaceutical compns. thereof for the treatment of tuberculosis and other Mycrobacterium-caused diseases. The compds. of the invention are N-substituted pyrazinamide derivs. Compound preparation is described.

L48 ANSWER 5 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:730372 HCAPLUS

DOCUMENT NUMBER: 137:252997

TITLE: Covalent microparticle-drug conjugates for biological targeting

INVENTOR(S): Meredith, Michael J.; **Yatvin, Milton B.**; **Pederson, Richard L.**

PATENT ASSIGNEE(S): Enzrel, Inc., USA

SOURCE: U.S., 36 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6455073	B1	20020924	US 2000-612732	20000710
US 2003022846	A1	20030130	US 2002-237846	20020909
US 6676972	B2	20040113		

PRIORITY APPLN. INFO.: US 2000-612732 A3 20000710

AB This invention provides reagents and methods for specifically delivering antibiotic, antimicrobial and antiviral drugs and agents to phagocytic mammalian cells infected with microorganism. Pharmaceutical compns. comprising such antibiotic, antimicrobial or antiviral drugs and agents conjugated to, impregnated with or coated onto particulate carriers generally termed microparticles are provided. In particular embodiments, the antibiotic, antimicrobial and antiviral compds., drugs and agents are covalently linked to a microparticle via a specifically-degradable linker mol. which is the target of a microorganism-specific protein having enzymic activity. Also provided are porous microparticles impregnated with or nonporous microparticles coated with antibiotic, antimicrobial or antiviral compds., drugs and agents wherein the surface or outside extent of the microparticle is covered with a degradable coating that is specifically degraded within an infected phagocytic mammalian cell to allow release of the compound within the cell. Thus, the invention provides cell targeting of drugs wherein the targeted drug is only released in cells infected with a particular microorganism. Methods of inhibiting, attenuating, arresting, combating and overcoming microbial infection of phagocytic mammalian cells in vivo and in vitro, especially cells infected with tuberculosis-causing and other **Mycobacterium** species microorganisms, are also provided. For example, isoniazid-NAD analog having an urea function was prepared as a prodrug. The prodrug was incubated with urease to release an activated isonicotinic acid anion which was recovered as a sodium or potassium salt and used to impregnate a porous microparticle that is then coated with a compound cleavable by an urease enzyme produced by a **Mycobacterium** species.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 6 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:51490 HCAPLUS
DOCUMENT NUMBER: 136:112622
TITLE: Isoniazid-NAD analog anti-**mycobacterial** compounds, their preparation, and pharmaceutical compositions containing them
INVENTOR(S): **Yatvin, Milton B.; Pederson, Richard L.**
PATENT ASSIGNEE(S): Enzrel, Inc., USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

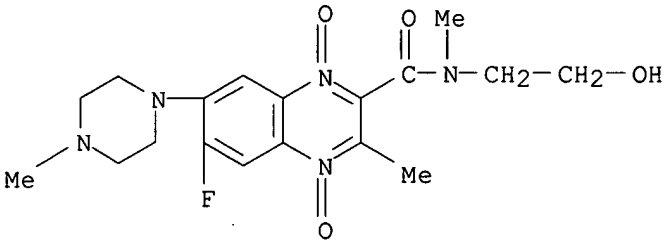
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004478	A1	20020117	WO 2001-US21640	20010710
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6689760	B1	20040210	US 2000-613409	20000710
PRIORITY APPLN. INFO.:			US 2000-613409	A1 20000710

OTHER SOURCE(S): MARPAT 136:112622
AB The invention provides compns. of matter, pharmaceutical compds., methods of synthesizing such compds. and methods for using such compds. to treat animals infected with a pathogenic **mycobacterium**. Preparation of isoniazid-NAD analog compds. is described. The invention specifically provides compns. and pharmaceutical compns. thereof for the treatment of tuberculosis and other **Mycobacterium**-caused diseases.
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 7 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:904618 HCAPLUS
DOCUMENT NUMBER: 124:146062
TITLE: 6-Fluoro-7-(1-piperazinyl)quinoxaline 1,4-dioxides. Part I. 2-(N-2-hydroxyalkylcarbamoyl) derivatives
AUTHOR(S): El-Abadelah, Mustafa M.; Nazer, Musa Z.; El-Abadla, Naser S.; Meier, Herbert
CORPORATE SOURCE: Chemistry Dep., University of Jordan, Amman, Jordan
SOURCE: Heterocycles (1995), 41(10), 2203-19
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:146062
AB A series of N-[6-fluoro-7-(4-methyl-1-piperazinyl)-3-methyl-2-quinoxaloyl]-β-aminoalkanol 1,4-dioxides was synthesized for bioassay via the Beirut reaction of 5(6)-fluoro-6(5)-(4-methyl-1-piperazinyl)benzofuroxan with the appropriate N-acetoacetyl-β-aminoalkanol in the presence of triethylamine. Preliminary in vitro investigations have indicated that none of the title compds. exhibits any significant **antibacterial** potency at concns. ≤ 200 μg/mL.

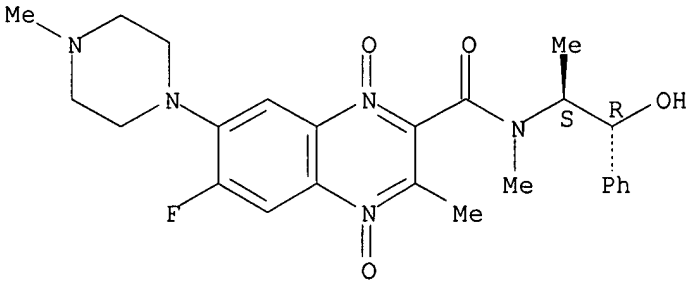
IT **173029-78-2P 173029-84-0P 173029-87-3P 173029-92-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal and fungicidal activity of fluoro(piperazinyl)quinoxaline dioxides)

RN 173029-78-2 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-fluoro-N-(2-hydroxyethyl)-N,3-dimethyl-7-(4-methyl-1-piperazinyl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

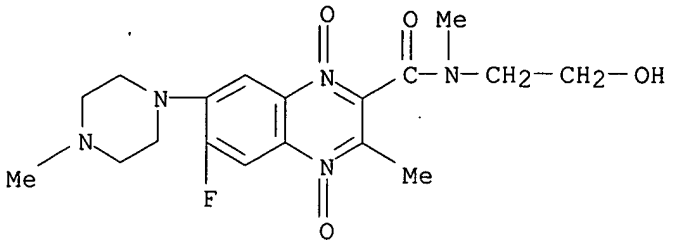


RN 173029-84-0 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-fluoro-N-(2-hydroxy-1-methyl-2-phenylethyl)-N,3-dimethyl-7-(4-methyl-1-piperazinyl)-, 1,4-dioxide, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



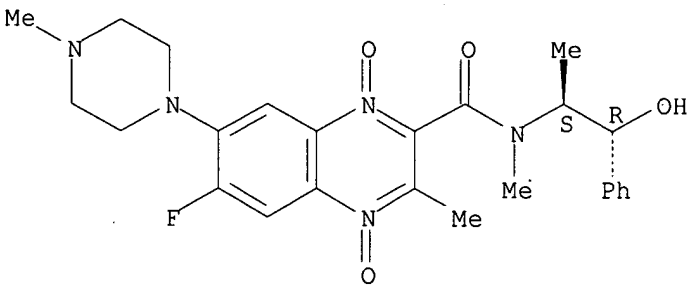
RN 173029-87-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-fluoro-N-(2-hydroxyethyl)-N,3-dimethyl-7-(4-methyl-1-piperazinyl)-, 1,4-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

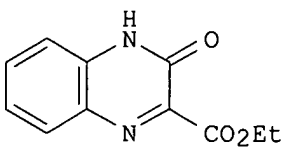
RN 173029-92-0 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-fluoro-N-(2-hydroxy-1-methyl-2-phenylethyl)-N,3-dimethyl-7-(4-methyl-1-piperazinyl)-, 1,4-dioxide, monohydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

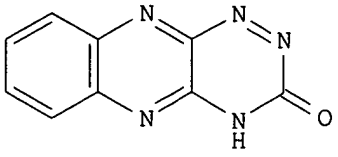


● HCl

L48 ANSWER 8 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:794453 HCAPLUS
DOCUMENT NUMBER: 124:8750
TITLE: Synthesis and chemistry of 3-aminocarbonyl- and 3-hydrazinocarbonylquinoxalinone derivatives
AUTHOR(S): Badr. M. Z. A.; Mahgoub, S. A.; Atta, F. M.; Moustafa, O. S.; El-Latif, F. M. Abd
CORPORATE SOURCE: Faculty of Science, Assiut University, Assiut, Egypt
SOURCE: Journal of the Indian Chemical Society (1994), 71(10), 617-19
CODEN: JICSAH; ISSN: 0019-4522
PUBLISHER: Indian Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:8750
GI



I



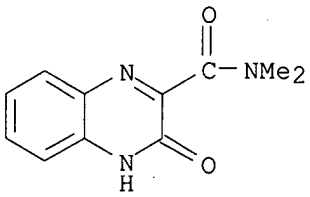
II

AB 3-Ethoxycarbonyl-2(1H)-quinoxalinone (1) (shown as structure I) reacts with nucleophiles, namely, dimethylamine, diethylamine, o-phenylenediamine and/or p-phenylenediamine to produce the corresponding 3-N-substituted-aminocarbonyl-2(1H)-quinoxalinones. Treatment of 1 with hydrazine hydrate produces 2(1H)-quinoxalinone-3-carbohydrazide (2) which with acylating reagents, namely, acetic anhydride, HOAc or acetyl chloride/pyridine, Ph isothiocyanate, p-toluenesulfonyl chloride and/or di-Et malonate produce the corresponding 3-β-N-substituted-hydrazinocarboxyl-2(1H)-quinoxalines. Condensation of 2 with benzaldehyde, p-anisaldehyde, p-N-dimethylaminobenzaldehyde and/or p-nitrobenzaldehyde gives the corresponding 3-arylidenehydrazinocarbonyl-2(1H)-quinoxalinones. Treatment of 2 with acetylacetone gives 3-(3,5-dimethylpyrazol-1-ylcarbonyl)-2(1H)-quinoxalinone (13). Diazotization of 2 produces 2(1H)-quinoxalinone-3-carboazide (14) which when treated with absolute EtOH and/or t-BuOH products the corresponding 3-alkoxycarbonylaminoquinoxalinones which cyclize on treatment with hydrazine hydrate into triazinoquinoxaline II.

IT **171254-27-6P 171254-28-7P 171254-31-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

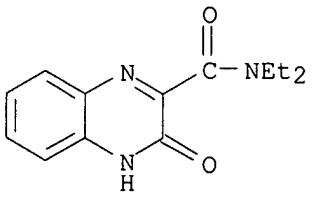
RN 171254-27-6 HCAPLUS

CN 2-Quinoxalinecarboxamide, 3,4-dihydro-N,N-dimethyl-3-oxo- (9CI) (CA INDEX NAME)



RN 171254-28-7 HCAPLUS

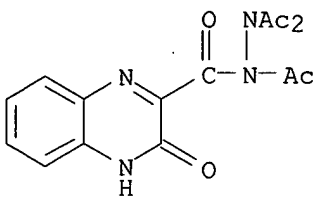
CN 2-Quinoxalinecarboxamide, N,N-diethyl-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)



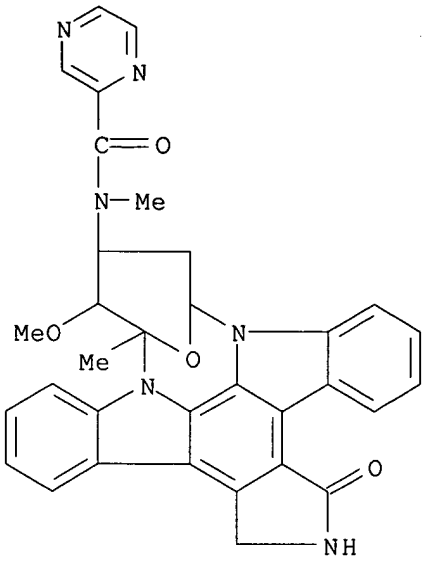
RN 171254-31-2 HCAPLUS

CN 2-Quinoxalinecarboxylic acid, 3,4-dihydro-3-oxo-, triacetylhydrazide (9CI)

(CA INDEX NAME)



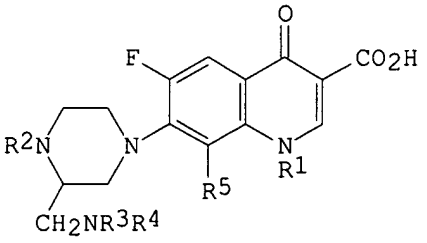
L48 ANSWER 9 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:548324 HCAPLUS
DOCUMENT NUMBER: 121:148324
TITLE: Inhibitory activity and selectivity of staurosporine derivatives towards protein kinase C
AUTHOR(S): Caravatti, Giorgio; Meyer, Thomas; Fredenhagen, Andreas; Trinks, Uwe; Mett, Helmut; Fabbro, Doriano
CORPORATE SOURCE: Oncol. Virol. Dep., Ciba-Geigy Ltd., Basel, CH-4002, Switz.
SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(3), 399-404
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The synthesis and in vitro protein kinase C (PKC) inhibition of a series of staurosporine derivs. is described. Essential for activity is a free NH of the lactam portion of the mol. A large variety of substituents is tolerated at the secondary amine, although in most cases these modifications lead to a decrease in activity. Acylation of the methylamino group leads generally to the most selective derivs. with respect to other serine/threonine and tyrosine kinases. Selective inhibitors of protein kinase C may.
IT **155848-17-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and protein kinase inhibition by, structure in relation to)
RN 155848-17-2 HCAPLUS
CN Pyrazinecarboxamide, N-[(9S,10R,11R,13R)-2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-g][1,7]benzodiazonin-11-yl]-N-methyl- (9CI) (CA INDEX NAME)



L48 ANSWER 10 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:497284 HCAPLUS
DOCUMENT NUMBER: 111:97284
TITLE: Preparation of 7-(1-piperazinyl)-3-quinolinecarboxylic acids as medical **bactericides**
INVENTOR(S): Ito, Yasuo; Kato, Hideo; Etsuchiyu, Eiichi; Ogawa, Nobuo; Mitani, Kazuya; Yagi, Noriyuki; Yoshida, Toshihiko
PATENT ASSIGNEE(S): Hokuriku Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63284171	A2	19881121	JP 1987-116713	19870515

PRIORITY APPLN. INFO.: JP 1987-116713 19870515
OTHER SOURCE(S): MARPAT 111:97284
GI



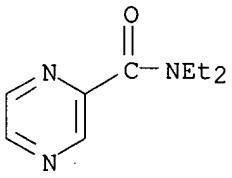
I

AB The title compds. (I; R1 = lower alkyl, cycloalkyl; R2-R4 = H, lower alkyl; R5 = H, halo) and their pharmacol. acceptable salts are prepared as medical **bactericides** (no data). Reduction of 5.15 g N-methyl-2-piperazinecarboxamide by LiAlH4 in 1,4-dioxane gave 2.05 g 2-(methylaminomethyl)piperazine, 0.50 g of which was refluxed 1 h with 0.75 g 1-cyclopropyl-6,7,8-trifluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid in pyridine to give 0.13 g I (R1 = cyclopropyl, R2 = R3 = H, R4 = Me, R5 = F).

IT **18960-18-4**, N,N-Diethyl-2-pyrazinecarboxamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenation of)

RN 18960-18-4 HCAPLUS

CN Pyrazinecarboxamide, N,N-diethyl- (8CI, 9CI) (CA INDEX NAME)



L48 ANSWER 11 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:209198 HCAPLUS

DOCUMENT NUMBER: 110:209198

TITLE: Structure-activity relationships of quinoxaline 1,4-dioxides

AUTHOR(S): Schoenfelder, D.; Stumm, G.; Bohle, M.; Niclas, J.

CORPORATE SOURCE: Zentralinst. Org. Chem., Akad. Wiss. DDR, Bitterfeld, Ger. Dem. Rep.

SOURCE: Pharmazie (1988), 43(12), 837-9
CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

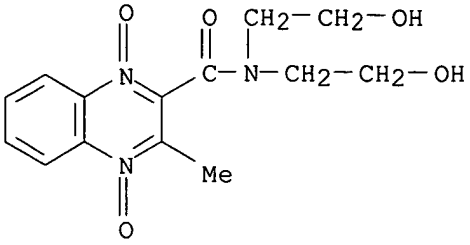
LANGUAGE: German

AB Studies on structure-activity relationships applied to quinoxaline 1,4-dioxides were performed on the basis of the Hansch anal. Correlations were observed between min. inhibitor concns. against Escherichia coli and physicochem. parameters. Correlations were also found between nutritive effects on chickens and structural parameters. The results obtained could be confirmed by means of Free-Wilson anal.

IT **80479-68-1**
RL: BIOL (Biological study)
(**antibacterial** and nutritional activities of, structure in relation to)

RN 80479-68-1 HCAPLUS

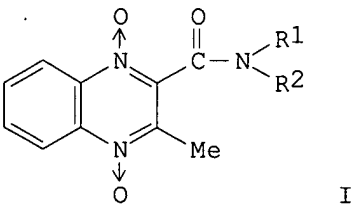
CN 2-Quinoxalinecarboxamide, N,N-bis(2-hydroxyethyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



L48 ANSWER 12 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:626647 HCAPLUS
DOCUMENT NUMBER: 105:226647
TITLE: 3-Methyl-2-quinoxalic acid 1,4-di-N-oxide amides
INVENTOR(S): Redlinski, Adam; Kaczur-Kaczynski, Eugeniusz; Burski, Janusz; Leplawy, Miroslaw; Siuda, Maria; Majer, Zdzislaw; Przepiera, Wanda; Klauze, Maciej
PATENT ASSIGNEE(S): Politechnika Lodzka, Pol.; Kutnowskie Zaklady Farmaceutyczne "Polfa"
SOURCE: Pol., 4 pp.
CODEN: POXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Polish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 127905	B2	19831231	PL 1982-234748	19820118

PRIORITY APPLN. INFO.: PL 1982-234748 19820118
OTHER SOURCE(S): CASREACT 105:226647
GI

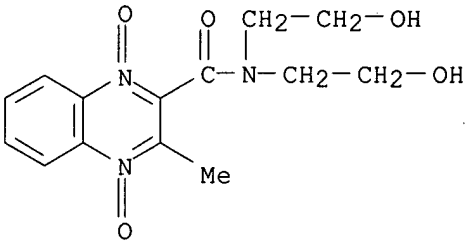


I

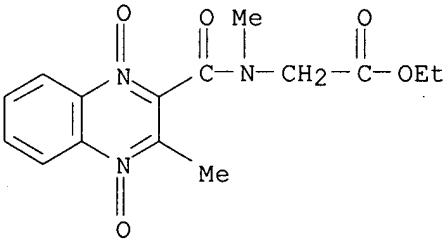
AB The title compds. (I; R1 = H, alkyl; R2 = H, alkyl, or alkyl group substituted by a OH, alkoxy, or alkoxycarbonyl) are prepared by reaction of alkyl esters of 3-methyl-2-quinoxalic acid 1,4-di-N-oxide with amines R1R2NH in the presence of alkaline catalysts. The latter are Group I and II element compds. at 0.001-0.250 mol/mol amine. The amount of the amines is 150-200% of the theor. The reaction is run in a solvent medium for 5-6 h at 10-75°. I are useful as **bactericides** (no data).
Thus, a mixture of 3-methyl-2-quinoxalic acid 1,4-di-N-oxide Et ester 2.48 g, ethanolamine 1.2 mL, CaO catalyst 70 mg, and MeOH solvent 2.5 mL was boiled with stirring for 6 h. After cooling to room temperature, the formed precipitate was separated, washed with MeOH, and dried. 2.53 G of product was obtained for a yield of 96.1% theor.
IT **80479-68-1P 105529-92-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as **bactericide**)

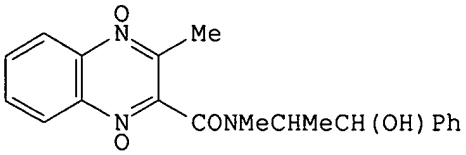
RN 80479-68-1 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-bis(2-hydroxyethyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 105529-92-8 HCAPLUS
CN Glycine, N-methyl-N-[(3-methyl-1,4-dioxido-2-quinoxalinyl)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L48 ANSWER 13 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1984:156569 HCAPLUS
DOCUMENT NUMBER: 100:156569
TITLE: Syntheses and **antibacterial** activity of some new N-(3-methyl-2-quinoxaloyl) amino alcohols and amine 1,4-dioxides
AUTHOR(S): Sabri, Salim S.; El-Abadelah, Mustafa M.; Owais, Wajih M.
CORPORATE SOURCE: Fac. Sci., Jordan Univ., Amman, Jordan
SOURCE: Journal of Chemical and Engineering Data (1984), 29(2), 229-31
CODEN: JCEAAX; ISSN: 0021-9568
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:156569
GI



I

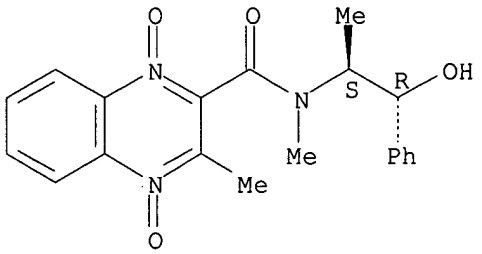
AB The syntheses and the in vitro and in vivo **antibacterial** activities of a series of N-(3-methyl-2-quinoxaloyl) amino alcs. and amine 1,4-dioxides, and their deoxygenated analogs are described. The quinoxaline 1,4-dioxide derivative of the naturally occurring (-)-ephedrine I was the most potent **antibacterial** agent of the series. The presence of a hydroxy group and a tertiary amide appears to be associated with enhancement of the **antibacterial** action.

IT **81485-17-8P 89063-57-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

RN 81485-17-8 HCAPLUS

CN 2-Quinoxalinecarboxamide, N-[(1S,2R)-2-hydroxy-1-methyl-2-phenylethyl]-N,3-dimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

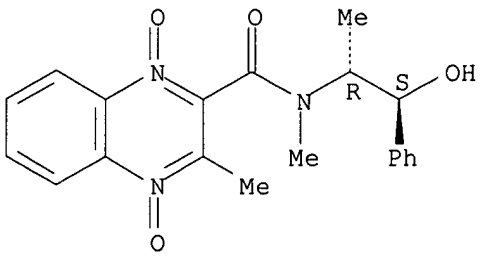
Absolute stereochemistry.



RN 89063-57-0 HCAPLUS

CN 2-Quinoxalinecarboxamide, N-(2-hydroxy-1-methyl-2-phenylethyl)-N,3-dimethyl-, 1,4-dioxide, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

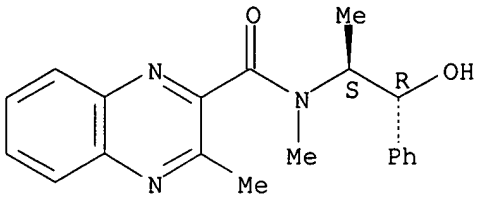


IT **88996-88-7P 89063-58-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 88996-88-7 HCAPLUS

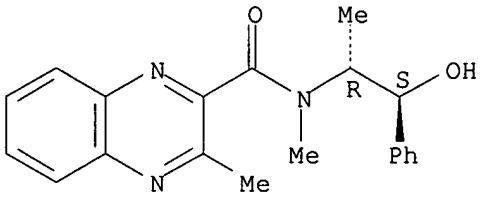
CN 2-Quinoxalinecarboxamide, N-(2-hydroxy-1-methyl-2-phenylethyl)-N,3-dimethyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

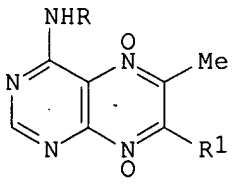


RN 89063-58-1 HCAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-hydroxy-1-methyl-2-phenylethyl)-N,3-dimethyl-, (R*,S*)- (9CI) (CA INDEX NAME)

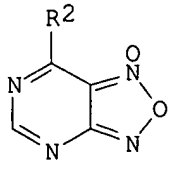
Relative stereochemistry.



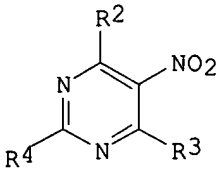
L48 ANSWER 14 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:505047 HCAPLUS
DOCUMENT NUMBER: 99:105047
TITLE: Pyrazine-1,4-dioxides fused to heterocycles. 3. Synthesis and **antibacterial** activity of substituted pteridine-5,8-dioxides
AUTHOR(S): Binder, D.; Noe, C. R.; Prager, B. C.; Turnowsky, F.
CORPORATE SOURCE: Inst. Org. Chem., Tech. Univ. Wien, Vienna, A-1060, Austria
SOURCE: Arzneimittel-Forschung (1983), 33(6), 803-5
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: German
GI



I



II



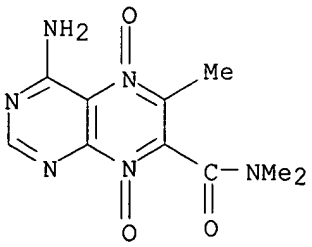
III

AB Pteridine dioxides I (R = H, R1 = Me, CONMe2, CH2CO2Me; R = Ac, R1 = CONMe2) were prepared by cyclocondensation of II (R2 = MeO, NH2) with

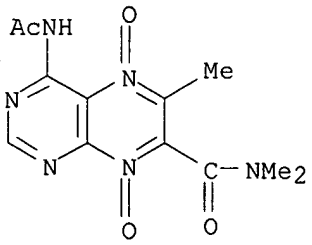
carbonyl compds. (e.g., EtCOMe) in presence of NH3. II were prepared by treating III (R3 = Cl, R1 = Cl, MeO) with NaN3 or by diazotization and cyclization of III (R3 = NHNH2, R4 = H) and pyrolysis of the product. I (R = H, R1 = Me, CH2CO2Me) are bactericides, as effective as the corresponding pyrido[2,3-b]pyrazine dioxides.

IT **64204-23-5P 87009-77-6P 87009-81-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

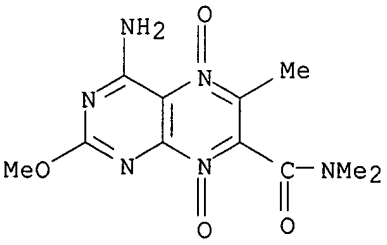
RN 64204-23-5 HCAPLUS
CN 7-Pteridinecarboxamide, 4-amino-N,N,6-trimethyl-, 5,8-dioxide (9CI) (CA INDEX NAME)



RN 87009-77-6 HCAPLUS
CN 7-Pteridinecarboxamide, 4-(acetylamino)-N,N,6-trimethyl-, 5,8-dioxide (9CI) (CA INDEX NAME)



RN 87009-81-2 HCAPLUS
CN 7-Pteridinecarboxamide, 4-amino-2-methoxy-N,N,6-trimethyl-, 5,8-dioxide (9CI) (CA INDEX NAME)



L48 ANSWER 15 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:4563 HCAPLUS
DOCUMENT NUMBER: 98:4563

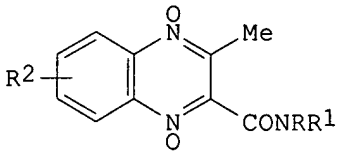
TITLE: Quinoxaline derivatives
INVENTOR(S): Issidorides, Costas H.; Haddadin, Makhluf J.
PATENT ASSIGNEE(S): Research Corp. , USA
SOURCE: U.S., 24 pp. Cont.-in-part of U.S. Ser. No. 691,252, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4343942	A	19820810	US 1969-883577	19691209
CA 923131	A1	19730320	CA 1967-4478	19671107
GB 1308370	A	19730228	GB 1970-47202	19701005
NL 157302	B	19780717	NL 1972-8887	19720628
DK 7800142	A	19780112	DK 1978-142	19780112
US 4866175	A	19890912	US 1979-29344	19790412

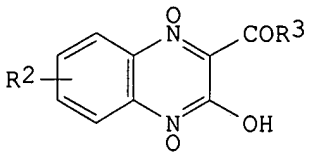
PRIORITY APPLN. INFO.:

US 1966-592729	A2	19661108
NL 1967-14882	A	19671102
US 1967-691252	A2	19671218
DK 1967-5535	A	19671107
US 1969-883577	A	19691209
CA 1970-923131	A5	19701118
US 1977-843510	A1	19771008

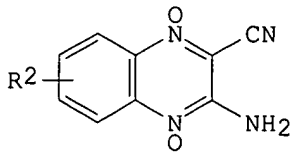
OTHER SOURCE(S): CASREACT 98:4563
GI



I



II



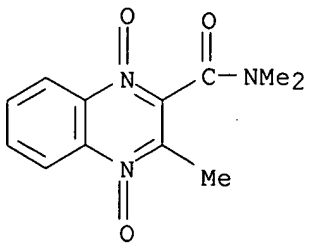
III

AB **Bactericidal** quinoxaline dioxides I (R, R1 = H, alkyl; R2 = F3C, H2NSO2, MeNHSO2, Me2NSO2) and II [R3 = alkoxy, aryloxy, PhCH2O, NR4R5 (R4, R5 = H, alkyl, Ph); R2 = H, Cl, F, Me, MeO, F3C, H2NSO2, MeNHSO2] and III (R2 = as before) were prepared Thus, condensation of benzofuroxan with Me2CO in refluxing MeCN containing pyrrolidine gave 2-methylquinoxaline dioxide which possessed a min. inhibitory concentration of 50 μg/mL against Pasteurella multocida.

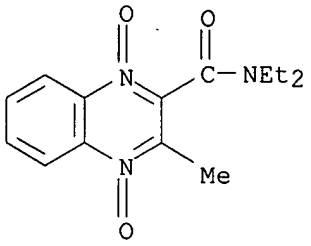
IT **23696-31-3P 23709-67-3P 31683-24-6P 31776-71-3P 41153-72-4P 41153-75-7P 41153-77-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 23696-31-3 HCAPLUS

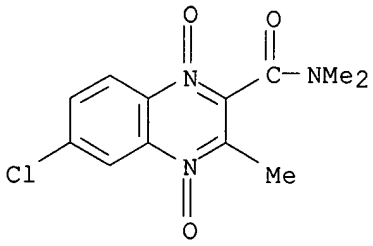
CN 2-Quinoxalinecarboxamide, N,N,3-trimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



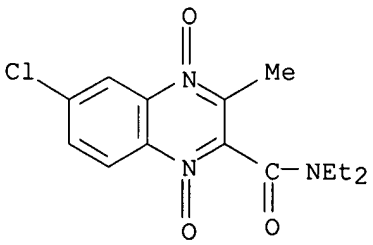
RN 23709-67-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-diethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



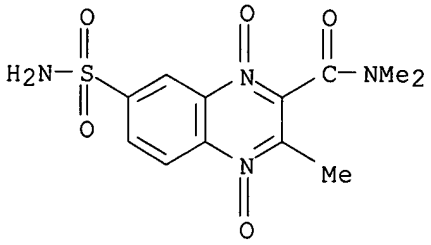
RN 31683-24-6 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-N,N,3-trimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



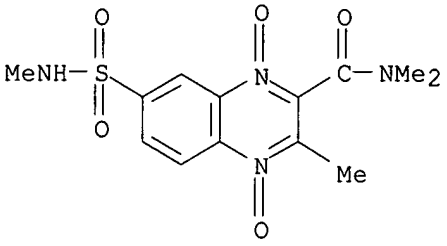
RN 31776-71-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-N,N-diethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



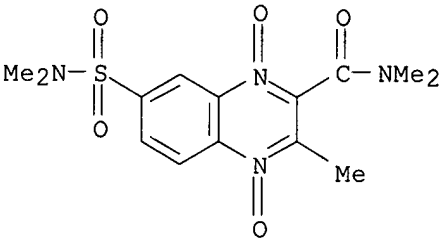
RN 41153-72-4 HCAPLUS
CN 2-Quinoxalinecarboxamide, 7-(aminosulfonyl)-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 41153-75-7 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N,3-trimethyl-7-[(methylamino)sulfonyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 41153-77-9 HCAPLUS
CN 2-Quinoxalinecarboxamide, 7-[(dimethylamino)sulfonyl]-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

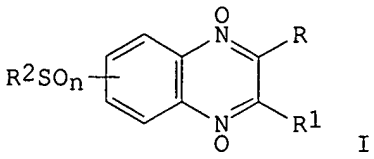


L48 ANSWER 16 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1982:616223 HCAPLUS
DOCUMENT NUMBER: 97:216223
TITLE: Quinoxaline di-N-oxide derivatives
INVENTOR(S): Schmid, Wolfgang
PATENT ASSIGNEE(S): Ciba-Geigy A.-G. , Switz.
SOURCE: Patentschrift (Switz.), 7 pp.
CODEN: SWXXAS
DOCUMENT TYPE: Patent
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 630908	A	19820715	CH 1977-1968	19770217
PRIORITY APPLN. INFO.:			CH 1977-1968	19770217

GI

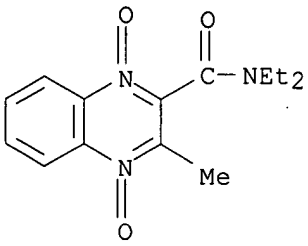


I

AB Quinoxaline di-N-oxides I [R, R1 = H, Me, Ac, CO2Et, CONH2, CONHMe, CONMe2, CONEt2, CONHCH2CH2OH, Bz, SPh, pyridyl, pyridyl N-oxide, NH2, cyano; R2 = alkyl, CH2CH2NMe2, CH2CH2NEt2, CH2CH2OH, CH2CH(OH)CH2OH; n = 0-2] were prepared for use as animal feed additives. Thus 2,5-O2N(Cl)C6H3NH2 was treated with EtSH to give 2,5-O2N(EtS)C6H3NH2 which was oxidized with NaOCl to give 5-(ethylthio)benzofurazan N-oxide (II). II was treated with (MeCO)2CH2 to give I (R = Me, R1 = Ac, R2 = Et, n = 0).

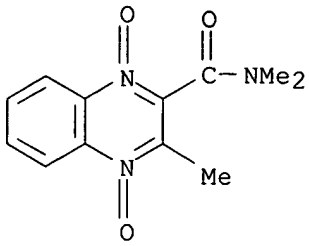
IT **83754-83-0P 83754-98-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 83754-83-0 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-diethyl-6(or 7)-(ethylthio)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



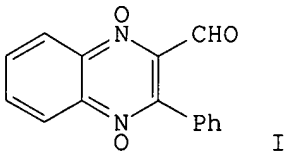
D1—S—Et

RN 83754-98-7 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6(or 7)-(ethylthio)-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



D1—S—Et

L48 ANSWER 17 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1982:194867 HCAPLUS
DOCUMENT NUMBER: 96:194867
TITLE: Microbial mutagenicity and toxicity of newly synthesized heterocyclic N-oxides
AUTHOR(S): Al-Mossawi, M. A. J.; Salem, A. A.; Salama, M.; Anani, A.
CORPORATE SOURCE: Kuwait Inst. Sci. Res., Safat, Kuwait
SOURCE: Environment International (1981), 5(3), 141-4
CODEN: ENVIDV; ISSN: 0160-4120
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



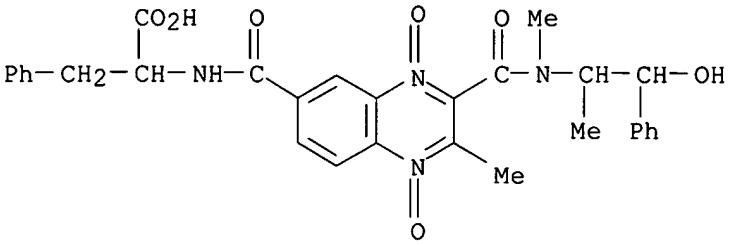
I

AB Newly synthesized heterocyclic N-oxides were tested for their mutagenicity using the Ames test. DX1 (I) [81485-18-9] was potentially mutagenic in Salmonella typhimurium TA 100 and 98 with and without the S-9 mixture WO 25 [**81485-17-8**] And WO 20 [**81485-16-7**], being structurally related to I, did not show any genetic change in the strains used. The **antibiotic** activity of these chems. was also tested using gram-neg. and gram-pos. bacteria. I had more killing effect in gram-pos. bacteria than WO 25 and WO 20.

IT **81485-16-7 81485-17-8**
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(mutagenicity and toxicity of)

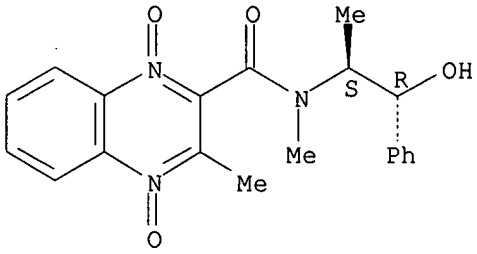
RN 81485-16-7 HCAPLUS

CN D-Phenylalanine, N-[[3-[[[(1S,2R)-2-hydroxy-1-methyl-2-phenylethyl]methylamino]carbonyl]-2-methyl-1,4-dioxido-6-quinoxalinyl]carbonyl]- (9CI) (CA INDEX NAME)

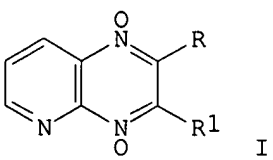


RN 81485-17-8 HCAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2R)-2-hydroxy-1-methyl-2-phenylethyl]-N,3-dimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L48 ANSWER 18 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1982:104193 HCAPLUS
DOCUMENT NUMBER: 96:104193
TITLE: Pyrazine-1,4-dioxides fused to heterocycles. 2. Synthesis and **antibacterial** activity of substituted pyrido[2,3-b]pyrazine-1,4-dioxides
AUTHOR(S): Binder, D.; Georgopoulos, A.; Noe, C. R.; Nussbaumer, J.; Prager, B. C.; Turnowsky, F.
CORPORATE SOURCE: Inst. Org. Chem., Tech. Univ., Vienna, Austria
SOURCE: Arzneimittel-Forschung (1982), 32(1), 10-14
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 96:104193
GI



I

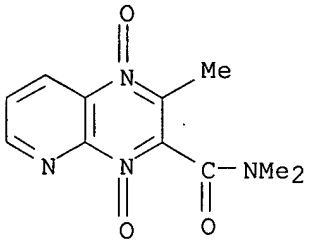
AB Pyridopyrazine dioxides I [R = H, Me, CHMe2, CO2Me, CH(OMe)2, Ph; R1 = H, Me, CH(OMe)2, CO2Me, CO2Et, CONMe2, CH2CO2Me, CH2CONMe2, CH2CONH2, CH:NOMe, CH:NNHCO2Me] were prepared, mostly by treating 1,2,5-oxadiazolo[3,4-b]pyridine 1-oxide with RCOCH2R1 or their enamines. I has bactericidal

activity against gram-neg. bacteria. Oral activity of I (R = Me, R1 = CONMe2) was comparable to that of nalidixic acid or nitrofurantoin in the treatment of exptl. pyelonephritis.

IT **64204-13-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

RN 64204-13-3 HCAPLUS

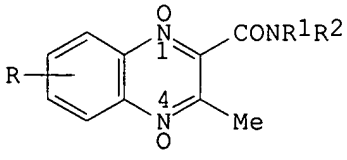
CN Pyrido[2,3-b]pyrazine-3-carboxamide, N,N,2-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



L48 ANSWER 19 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1979:204144 HCAPLUS
DOCUMENT NUMBER: 90:204144
TITLE: Quinoxaline di-N-oxides
INVENTOR(S): Issidorides, Costas H.; Haddadin, Makhluf J.
PATENT ASSIGNEE(S): Research Corp., USA
SOURCE: Pat. Specif. (Aust.), 13 pp.
CODEN: ALXXAP
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 497214	B2	19781207	AU 1976-14096	19760519
AU 7614096	A1	19760805		

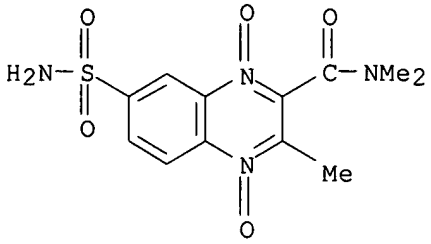
PRIORITY APPLN. INFO.: AU 1976-14096 A 19760519
GI



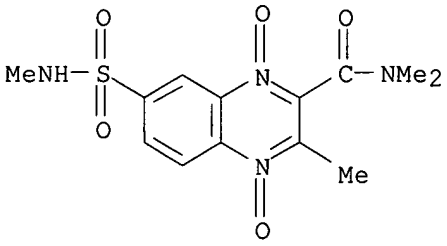
I

AB The reaction of benzofuroxans with acetoacetamides yielded title compds. I (R = SO2NH2, SO2NHMe, SO2NMe2, CF3; each of R1 and R2 is H or alkyl), useful as **bactericides** (no data). Thus, treatment of 6-(trifluoromethyl)benzofuroxan with MeCOCH2CONH2 gave I (R = 6-CF3, R1 = R2 = H).

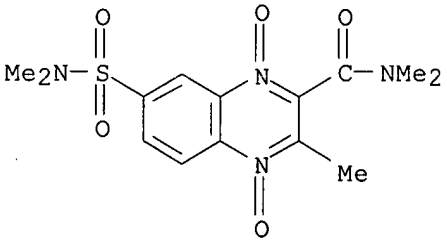
IT **41153-72-4P 41153-75-7P 41153-77-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 41153-72-4 HCAPLUS
CN 2-Quinoxalinecarboxamide, 7-(aminosulfonyl)-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 41153-75-7 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N,3-trimethyl-7-[(methylamino)sulfonyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 41153-77-9 HCAPLUS
CN 2-Quinoxalinecarboxamide, 7-[(dimethylamino)sulfonyl]-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



L48 ANSWER 20 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:584551 HCAPLUS
DOCUMENT NUMBER: 87:184551
TITLE: Quinoxaline di-N-oxide derivatives
INVENTOR(S): Schmid, Wolfgang; Basler, Walter; Burckhardt, Urs
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX

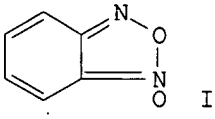
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

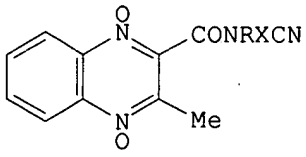
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2701707	A1	19770721	DE 1977-2701707	19770117
DE 2701707	C2	19860522		
GB 1569034	A	19800611	GB 1977-2356	19760120
CH 627174	A	19811231	CH 1976-634	19760120
SU 890961	A3	19811215	SU 1977-2437355	19770110
CA 1108143	A1	19810901	CA 1977-269894	19770118
BE 850510	A1	19770719	BE 1977-174182	19770119
DK 7700202	A	19770721	DK 1977-202	19770119
DK 141509	B	19800408		
DK 141509	C	19800929		
SE 7700529	A	19770721	SE 1977-529	19770119
SE 427928	B	19830524		
SE 427928	C	19830901		
FR 2338935	A1	19770819	FR 1977-1398	19770119
FR 2338935	B1	19790323		
BR 7700355	A	19770920	BR 1977-355	19770119
AU 7721443	A1	19780727	AU 1977-21443	19770119
AU 515192	B2	19810319		
IL 51292	A1	19810629	IL 1977-51292	19770119
NL 7700581	A	19770722	NL 1977-581	19770120
JP 52089683	A2	19770727	JP 1977-5395	19770120
JP 61035985	B4	19860815		
HU 175068	P	19800528	HU 1977-CI1714	19770120
DK 7803943	A	19780906	DK 1978-3943	19780906
DK 146388	B	19830926		
DK 146388	C	19840305		

PRIORITY APPLN. INFO.:

CH 1976-634	A	19760120
CH 1976-14920	A	19761126
CH 1976-643	A	19761120
DK 1977-202	A	19770119

OTHER SOURCE(S): CASREACT 87:184551
GI


I

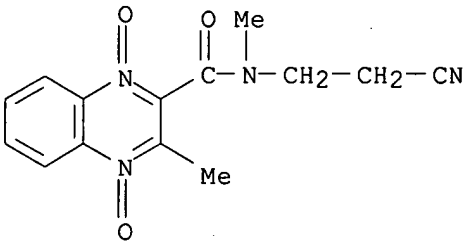


II

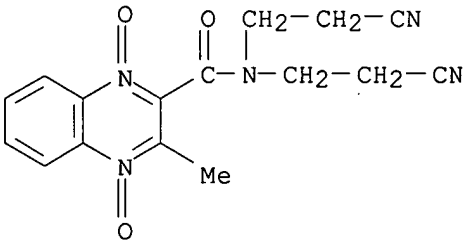
AB Cyclization of benzofuroxan (I) with AcCH2CONRXCN gave 15 quinoxaline dioxides II (R = H, Me, CH2CH2CN, Bu, dodecyl, CH2CH:CH2, hexyl; X = CH2, CMe2, CH2CH2, CH2CHMe, CHMe, (CH2)3, (CH2)4, CHEt, CHMe). Thus, 23.8 gms AcCH2CONHCH2CH2CN was cyclized with 19.2 gms I to give II (R = H, X = CH2CH2), useful as an animal growth promoter. Extensive data was given for the effectiveness of II (R = H, X = CH2, CMe2) as **bactericides** against 6-bacteria including Staphlococcus aureus, Escherichia coli and Pseudomonas aeruginosa.

IT **64557-84-2P 64557-87-5P 64557-88-6P**
64557-92-2P 64557-93-3P 64557-94-4P

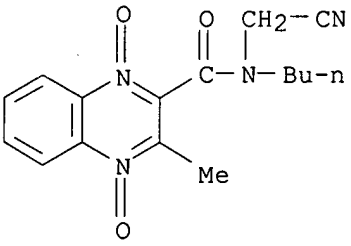
64557-96-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 64557-84-2 HCAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-cyanoethyl)-N,3-dimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



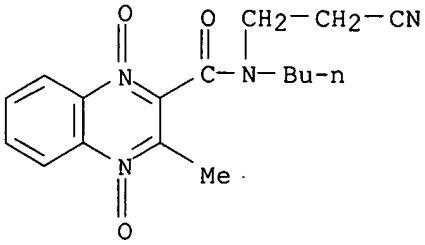
RN 64557-87-5 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-bis(2-cyanoethyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



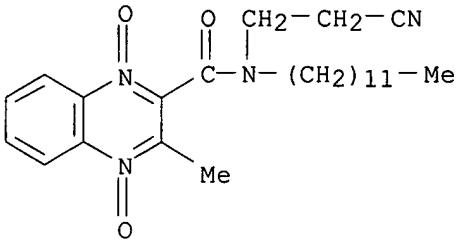
RN 64557-88-6 HCAPLUS
CN 2-Quinoxalinecarboxamide, N-butyl-N-(cyanomethyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



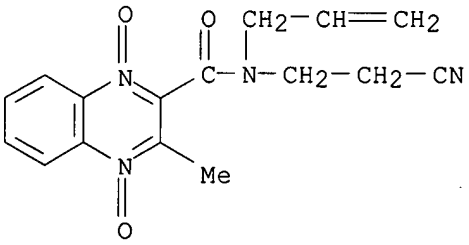
RN 64557-92-2 HCAPLUS
CN 2-Quinoxalinecarboxamide, N-butyl-N-(2-cyanoethyl)-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



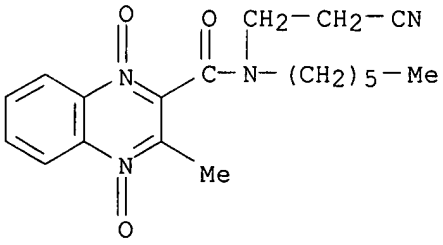
RN 64557-93-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-cyanoethyl)-N-dodecyl-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 64557-94-4 HCAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-cyanoethyl)-3-methyl-N-2-propenyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



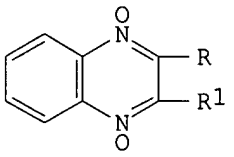
RN 64557-96-6 HCAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-cyanoethyl)-N-hexyl-3-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



L48 ANSWER 21 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:552276 HCAPLUS
DOCUMENT NUMBER: 87:152276
TITLE: 3-(Heterocyclicthiomethyl)quinoxaline 1,4-dioxides
INVENTOR(S): Urban, Frank J.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4038392	A	19770726	US 1975-622057	19751014
NL 7610317	A	19770418	NL 1976-10317	19760916
BE 846532	A1	19770324	BE 1976-1007643	19760924
FR 2327784	A1	19770513	FR 1976-28849	19760924
FR 2327784	B1	19781117		
JP 52048679	A2	19770418	JP 1976-115729	19760927
DE 2645787	A1	19770421	DE 1976-2645787	19761009

PRIORITY APPLN. INFO.: US 1975-622057 A 19751014
GI



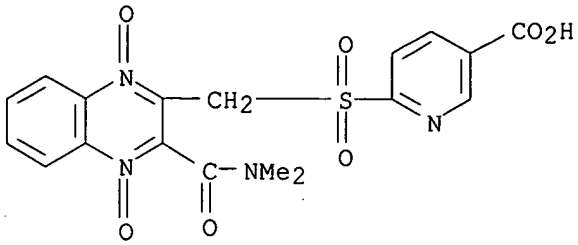
I

AB Quinoxaline dioxides I (R = CO2Me, CONH2, substituted carbamoyl, CH2OH, Ac, H; R1 = CH2SR2, CH2SO2R2, CH2SOR2, CH2SO2CH2R2, CH2SO2(CH2)3R2, R2 = N heterocycle) (>100 compds.) were prepared Thus I (R = CH2OH, R1 = Me) was brominated and treated wtih 1-methyl-2-imidazolethiol to give I (R = CH2OH, R1 = 1-methyl-2-imidazolylthiomethyl), which had min. inhibitory concns. against Streptococcus pyogenes and Escherichia coli 50 and 100 mg/ml.

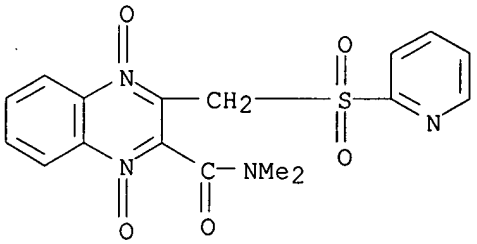
IT **63206-26-8P 63206-41-7P 64300-94-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and **bactericidal** activity of)

RN 63206-26-8 HCAPLUS

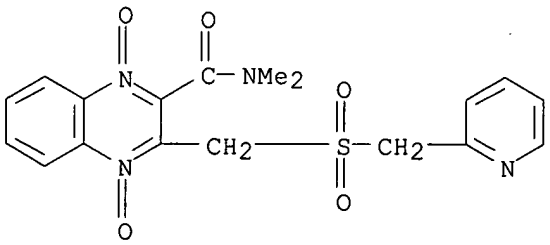
CN 3-Pyridinecarboxylic acid, 6-[[[3-[(dimethylamino)carbonyl]-1,4-dioxido-2-quinoxalinyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)



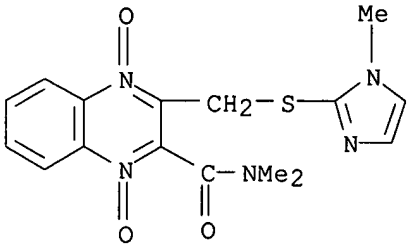
RN 63206-41-7 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-dimethyl-3-[(2-pyridinylsulfonyl)methyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 64300-94-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-dimethyl-3-[[(2-pyridinylmethyl)sulfonyl]methyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)

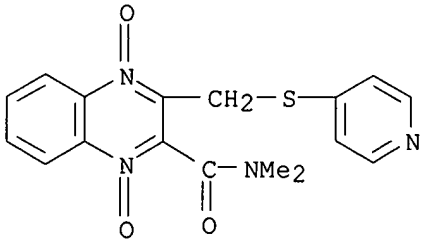


IT **63205-72-1P 63205-77-6P 63206-27-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 63205-72-1 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-dimethyl-3-[[(1-methyl-1H-imidazol-2-yl)thio]methyl]-, 1,4-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



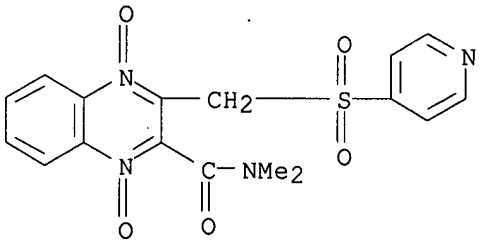
● HCl

RN 63205-77-6 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-dimethyl-3-[(4-pyridinylthio)methyl]-, 1,4-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 63206-27-9 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-dimethyl-3-[(4-pyridinylsulfonyl)methyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



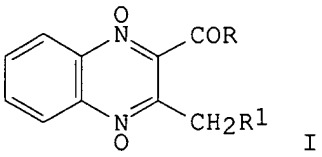
L48 ANSWER 22 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:552275 HCAPLUS
DOCUMENT NUMBER: 87:152275
TITLE: 3-Substituted quinoxaline-2-carboxamide 1,4-dioxides
INVENTOR(S): Dirlam, John P.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 19 pp.

CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4039540	A	19770802	US 1975-632219	19751117
DK 7501712	A	19751108	DK 1975-1712	19750421
DK 140940	B	19791210		
DK 140940	C	19800519		
AU 7580530	A1	19761028	AU 1975-80530	19750424
ES 437053	A1	19770116	ES 1975-437053	19750426
BE 828745	A1	19751105	BE 1975-1006643	19750505
FI 7501328	A	19751108	FI 1975-1328	19750506
NL 7505292	A	19751111	NL 1975-5292	19750506
JP 50160286	A2	19751225	JP 1975-54193	19750506
GB 1450518	A	19760922	GB 1975-19058	19750506
FR 2269949	A1	19751205	FR 1975-14453	19750507
AT 7503510	A	19770715	AT 1975-3510	19750507
DK 7800485	A	19780202	DK 1978-485	19780202

PRIORITY APPLN. INFO.: US 1974-467718 A2 19740507
DK 1975-1712 A 19750421

GI



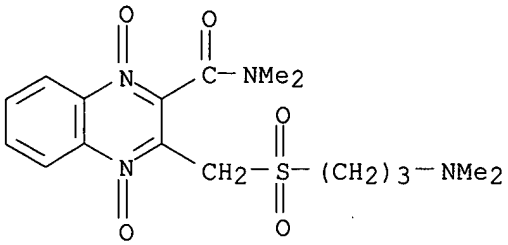
I

AB Quinoxalinecarboxamide dioxides I (R = amino, R1 = substituted alkylthio, alkylsulfinyl, alkylsulfonyl)(76 compds.) were prepared Thus, I (R = NHMe, R1 = Br) was treated with Me3N, I(R1 = N+Me3Br-) treated with HSCH2CH2OH to give I (R = NHMe, R1 = SCH2CH2OH), which had min inhibitory concentration against Streptococcus pyogenes and Escherichia coli 0.781 μg/ml.

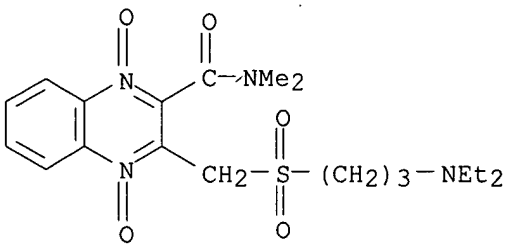
IT **57990-41-7P 57990-44-0P 57990-51-9P**
57990-55-3P 57990-63-3P 57990-65-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and **bactericidal** activity of)

RN 57990-41-7 HCAPLUS

CN 2-Quinoxalinecarboxamide, 3-[[[3-(dimethylamino)propyl]sulfonyl]methyl]-N,N-dimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

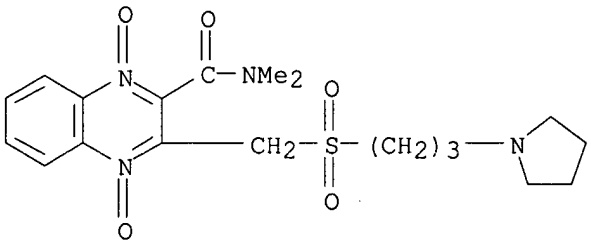


RN 57990-44-0 HCAPLUS
CN 2-Quinoxalinecarboxamide, 3-[[[3-(diethylamino)propyl]sulfonyl]methyl]-N,N-dimethyl-, 1,4-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

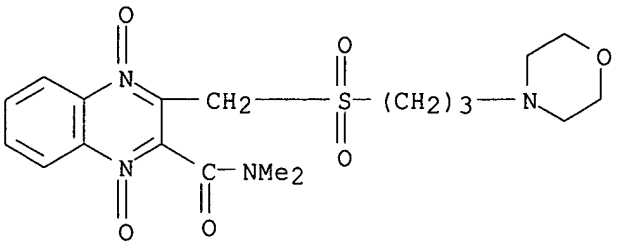


● HCl

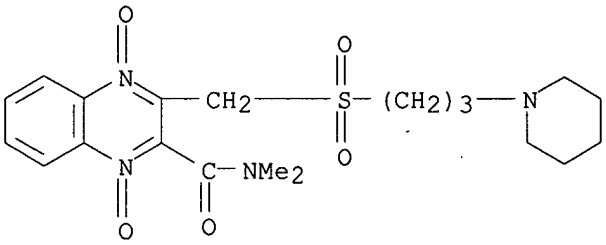
RN 57990-51-9 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-dimethyl-3-[[[3-(1-pyrrolidinyl)propyl]sulfonyl]methyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



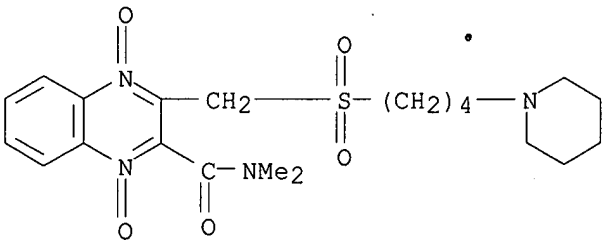
RN 57990-55-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-dimethyl-3-[[[3-(4-morpholinyl)propyl]sulfonyl]methyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 57990-63-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-dimethyl-3-[[[3-(1-piperidinyl)propyl]sulfonyl]methyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 57990-65-5 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-dimethyl-3-[[[4-(1-piperidinyl)butyl]sulfonyl]methyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)

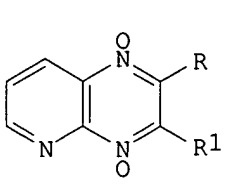


L48 ANSWER 23 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:552274 HCAPLUS
DOCUMENT NUMBER: 87:152274
TITLE: Substituted pyrido[2,3-b]pyrazine 1,4-dioxide derivatives
INVENTOR(S): Binder, Dieter
PATENT ASSIGNEE(S): Austria
SOURCE: Ger. Offen., 32 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

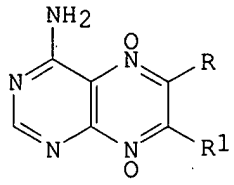
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DE 2703369	A1	19770728	DE 1977-2703369		19770127
NL 7700607	A	19770729	NL 1977-607		19770121
BE 850778	A1	19770726	BE 1977-174403		19770126
JP 52091892	A2	19770802	JP 1977-7618		19770126
FR 2339613	A1	19770826	FR 1977-2257		19770127
PRIORITY APPLN. INFO.:			AT 1976-534	A	19760127
			AT 1976-3281	A	19760505

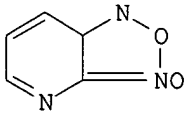
GI



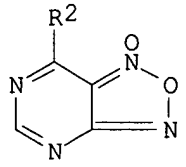
I



II



III

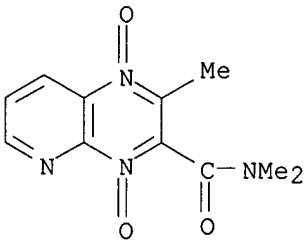


IV

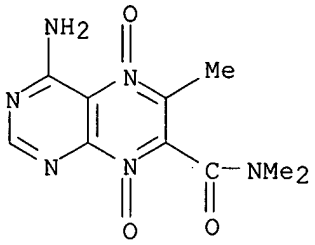
AB The title compds. I [R = R1 = H, Me, (MeO)2CH, MeO2C, etc.] as well as II (R = H, Me; R1 = Me, Me2NCO) were prepared by the reaction of RCOCH2R1 (R, R1 as above) with III or IV (R2 = MeO, NH2) in the presence of a base. Thus, III reacted with MeCOEt in the presence of Me2NH to give I (R = R1 = Me). I and II are useful as **bactericides** at 5 μg/mL in vitro.

IT **64204-13-3P 64204-23-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 64204-13-3 HCAPLUS
CN Pyrido[2,3-b]pyrazine-3-carboxamide, N,N,2-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



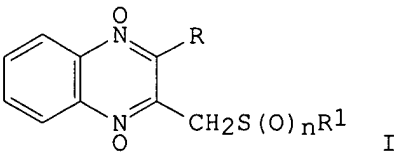
RN 64204-23-5 HCAPLUS
CN 7-Pteridinecarboxamide, 4-amino-N,N,6-trimethyl-, 5,8-dioxide (9CI) (CA INDEX NAME)



L48 ANSWER 24 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:439537 HCAPLUS
DOCUMENT NUMBER: 87:39537
TITLE: Quinoxaline 1,4-dioxides
INVENTOR(S): Urban, Frank John
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Ger. Offen., 33 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2645787	A1	19770421	DE 1976-2645787	19761009
US 4038392	A	19770726	US 1975-622057	19751014
PRIORITY APPLN. INFO.:			US 1975-622057 A	19751014

GI



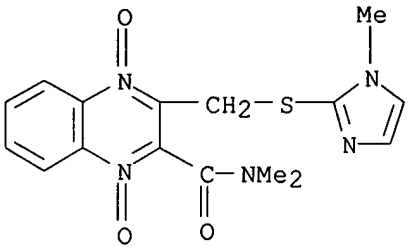
I

AB I (R = e.g. MeO2C, MeNHCO, MeCO, HOCH2; R1 = e.g. 1-methyl-2-imidazolyl, 4-pyridinyl, 2-pyrimidinyl, 2-benzimidazolyl, 2-benzothiazolyl; n = 0, 1, 2), useful as **bactericides**, especially in hogs, fowl and beef cattle, are prepared from the appropriate 2-(bromomethyl)quinoxaline 1,4-dioxides and mercapto-substituted heterocycles. Thus, reaction of 1-methyl-2-imidazolethiol with Me 3-(bromomethyl)-2-quinoxalinecarboxylate 1,4-dioxide in CHCl3 at room temperature gives after 2 h 88% I.HBr (R = MeO2C, R1 = 1-methyl-2-imidazolyl, n = 0). I (R = MeNHCO, R1 = 1-methyl-2-imidazolyl, n = 0) gives 100% protection against Pasteurella multocida in hogs compared to 33% mortality in untreated animals.

IT **63205-72-1P 63205-77-6P 63206-26-8P**
63206-27-9P 63206-41-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and **bactericidal** activity of)

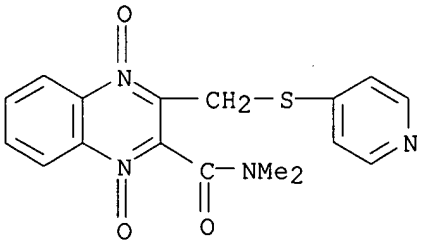
RN 63205-72-1 HCAPLUS

CN 2-Quinoxalinecarboxamide, N,N-dimethyl-3-[[(1-methyl-1H-imidazol-2-yl)thio]methyl]-, 1,4-dioxide, monohydrochloride (9CI) (CA INDEX NAME)



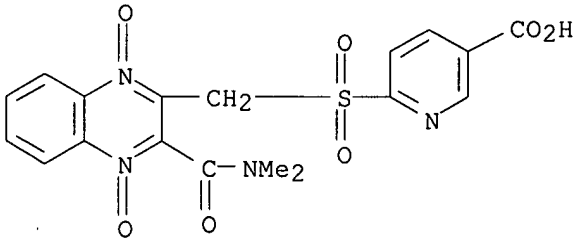
● HCl

RN 63205-77-6 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-dimethyl-3-[(4-pyridinylthio)methyl]-, 1,4-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

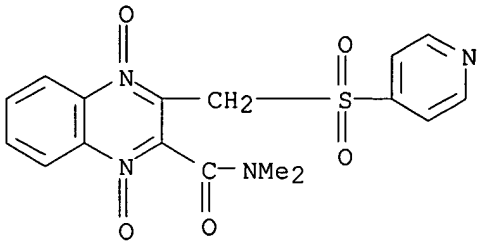


● HCl

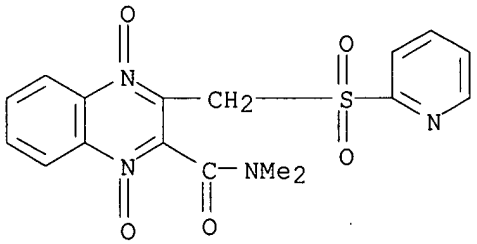
RN 63206-26-8 HCAPLUS
CN 3-Pyridinecarboxylic acid, 6-[[[3-[(dimethylamino)carbonyl]-1,4-dioxido-2-quinoxalinyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 63206-27-9 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-dimethyl-3-[(4-pyridinylsulfonyl)methyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



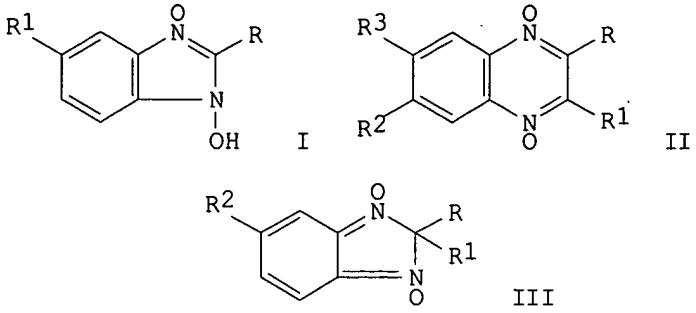
RN 63206-41-7 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-dimethyl-3-[(2-pyridinylsulfonyl)methyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



L48 ANSWER 25 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1976:560164 HCAPLUS
DOCUMENT NUMBER: 85:160164
TITLE: Improvements in or relating to 1-hydroxy-3-oxo-benzimidazoles, quinoxaline-di-N-oxides and benzimidazole-mono- and di-N-oxides
PATENT ASSIGNEE(S): Research Corp., USA
SOURCE: Brit. Amended, 35 pp. Addn. to Brit. 1,215,815.
CODEN: BSXXAH
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1308370		19760122		
PRIORITY APPLN. INFO.:			US 1969-883577	19691209

GI



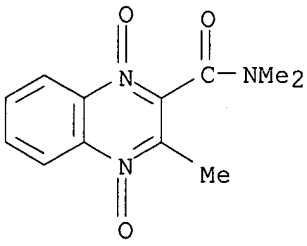
I II III

AB Nineteen 1-hydroxy-3-oxobenzimidazoles I [R = H, alkyl, (CH2)2CONH2, CO2Et; R1 = Cl, F, OMe, Me, CF3, SO2NH2, SO2NHMe, SO2NMe2], 213 quinoxaline di-N-oxides II [R = Me, alkoxycarbonyl, CO2Ph, CO2C7H7 (C7H7 = cycloheptatrienyl), CN, Ph, dialkoxymethyl; R1 = COMe, alkoxycarbonyl, N-substituted carbamoyl, CONH2, OH, NH2, sulfoalkyl; RR1 = monosubstituted alkylene, (CH2)nX(CH2)m (n = 0, 1; m = 2, 3; X = NH, NMe, NBu, NPh, NC7H7, O, S); R2,R 3 = H, Me, alkoxy, halo, SO2NH2, SO2NHMe, SO2NMe2; R3 = CF3], and 19 benzimidazole di-N-oxides III [R = Me, Et; R1 = Me, Et, CH2Cl, CH2Br, CH2OH, CH2NEt2; RR1 = (CH2)5; R2 = H, halo, OMe, CF3; SO2NH2, SO2NHMe, SO2NMe2], useful as antimicrobial agents, were prepared from benzofuroxans by treatment with RCH2NO2, RCOCH2R1, and RCHR1NO2, resp. Thus, II (R = Me, R1 = COMe, R2 = R3 = H) was prepared by stirring benzofuroxan with equimolar (MeCO)2CH2 and PrNH2 in THF overnight at room temperature. The antimicrobial activities of I, II, and III were assessed in vivo and in vitro.

IT **23696-31-3P 23709-67-3P 31674-05-2P 31674-08-5P 31674-10-9P 31683-24-6P 31776-71-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(antimicrobial agent, preparation of)

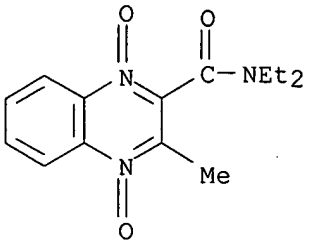
RN 23696-31-3 HCAPLUS

CN 2-Quinoxalinecarboxamide, N,N,3-trimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

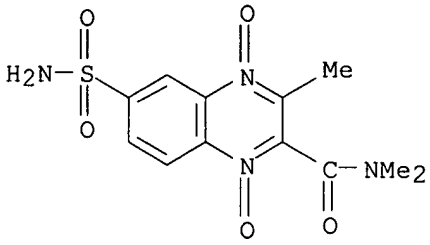


RN 23709-67-3 HCAPLUS

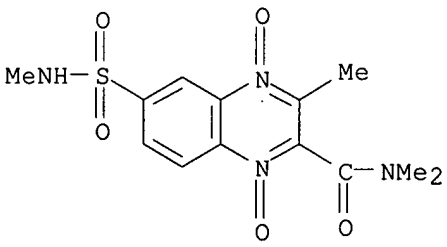
CN 2-Quinoxalinecarboxamide, N,N-diethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



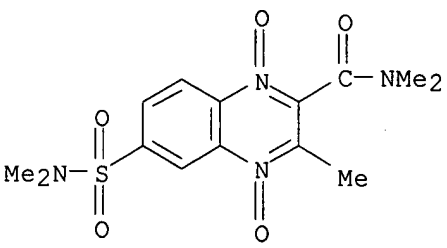
RN 31674-05-2 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-(aminosulfonyl)-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



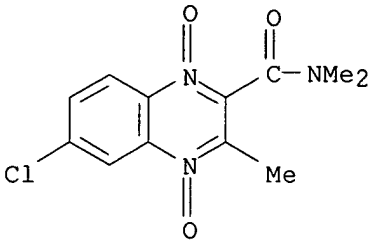
RN 31674-08-5 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N,3-trimethyl-6-[(methylamino)sulfonyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



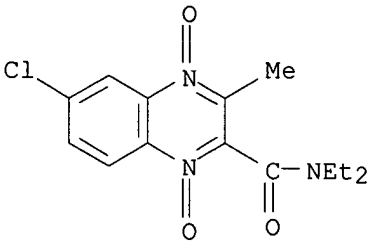
RN 31674-10-9 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-[(dimethylamino)sulfonyl]-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 31683-24-6 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-N,N,3-trimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



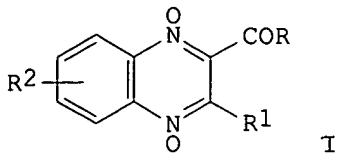
RN 31776-71-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-N,N-diethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



L48 ANSWER 26 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1976:433083 HCAPLUS
DOCUMENT NUMBER: 85:33083
TITLE: Substituted quinoxaline-2-carboxamide 1,4-dioxides
INVENTOR(S): McFarland, James W.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3948911	A	19760406	US 1974-525183	19741119
DE 2542899	A1	19760520	DE 1975-2542899	19750926
DE 2542899	B2	19790510		
DE 2542899	C3	19800110		
GB 1476860	A	19770616	GB 1975-47539	19751118

PRIORITY APPLN. INFO.: US 1974-525183 A 19741119
GI



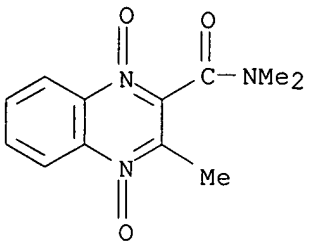
I

AB Quinoxaline-2-carboxamides (I, R = NH2, NHMe, NMe2, NHCH2CH2OH, etc.; R1 = H, Me, R2 = 6- or 7-substituted CHO, Ac, HOCH2, MeCHOH, 1,3-dioxolan-2-yl, 2-methyl-1,3-dioxolan-2-yl) (46 compds.) were prepared Thus, 0.02 mole 5(6)-hydroxymethylbenzofuroxan and 0.02 mole ethyl pyruvate were dissolved in acetonitrile and MeNH2 gas bubbled into the reaction mixture for 8 min to give 45% N-methyl-6(7)-hydroxymethyl-2-quinoxalinecarboxamide 1,4-dioxide. I exhibited antibactericidal activity against Streptomyces pyogenes and Escherichia coli with min inhibitory concentration of 0.2-200 mcg/ml.

IT **59655-26-4P 59655-31-1P 59655-44-6P 59655-53-7P 59655-60-6P 59660-49-0P 59660-50-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and **bactericidal** properties of)

RN 59655-26-4 HCAPLUS

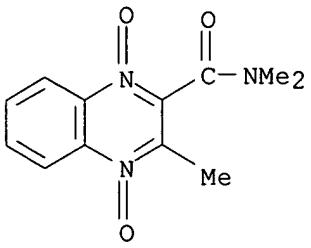
CN 2-Quinoxalinecarboxamide, ar-formyl-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

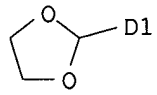


D1—CHO

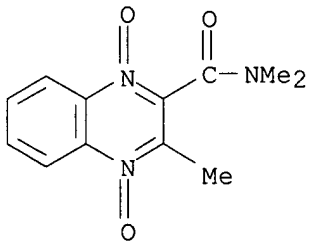
RN 59655-31-1 HCAPLUS

CN 2-Quinoxalinecarboxamide, ar-1,3-dioxolan-2-yl-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



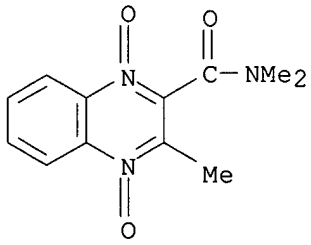


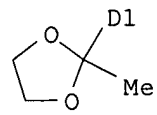
RN 59655-44-6 HCAPLUS
CN 2-Quinoxalinecarboxamide, (hydroxymethyl)-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



D1—CH_2—OH

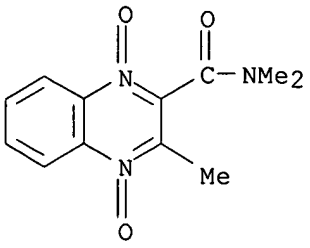
RN 59655-53-7 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N,3-trimethyl(2-methyl-1,3-dioxolan-2-yl)-, 1,4-dioxide (9CI) (CA INDEX NAME)

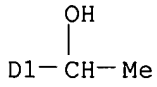




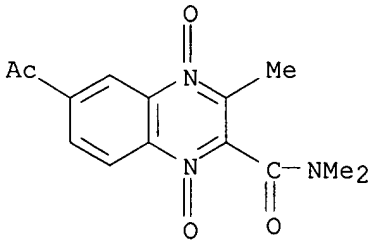
RN 59655-60-6 HCAPLUS

CN 2-Quinoxalinecarboxamide, (1-hydroxyethyl)-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

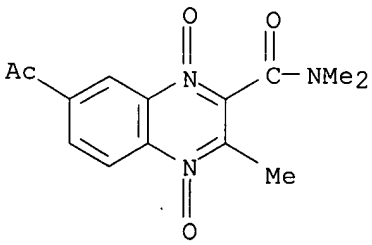




RN 59660-49-0 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-acetyl-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 59660-50-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, 7-acetyl-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



L48 ANSWER 27 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1976:169665 HCAPLUS
DOCUMENT NUMBER: 84:169665
TITLE: Veterinary feed additives
INVENTOR(S): Seng, Florin; Ley, Kurt; Metzger, Karl G.
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: U. S. Publ. Pat. Appl. B, 12 pp. Division of U.S.

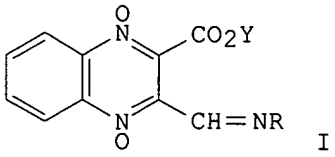
3,856,957.
CODEN: USXXDP
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 399098	A1	19760224	US 1973-399098	19730920
US 3997665	A	19761214		
US 3819616	A	19740625	US 1971-130007	19710331
US 3856957	A	19741224	US 1973-323953	19730115
US 3846415	A	19741105	US 1973-359401	19730511
US 3983235	A	19760928	US 1975-562403	19750327

PRIORITY APPLN. INFO.:

US 1971-130007	A3	19710331
US 1973-323953	A3	19730115
DE 1970-2015667	A	19700402
DE 1970-2015676	A	19700402
US 1973-399098	A3	19730920

GI



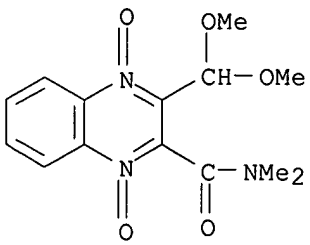
I

AB Imines of 2-formylquinoxaline-3-carboxylic acid 1,4-dioxides and their salts, preferably I where Y = H, alkali metal cation, or NH3R1 and R and R1 = C1-4 alkyl, C1-4 hydroxyalkyl, or 1 of various nitrogenous moieties, were synthesized, their **bactericidal** activity was demonstrated, and their use as veterinary feed additives was claimed. E.g., 0.1 mole tert-butylamine [75-64-9] was added to 0.1 mole Na 2-(dihydroxymethyl)quinoxaline-3-carboxylate N,N-dioxide [58959-76-5] to yield I where R = tert-Bu and Y = Na [34797-45-0], m.p. 228°. I where R = NHCO2Me and Y = H [34797-54-1] had a min. inhibitory concentration against Escherichia coli A 261 of 20 γ/ml.

IT **58959-77-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of)

RN 58959-77-6 HCAPLUS

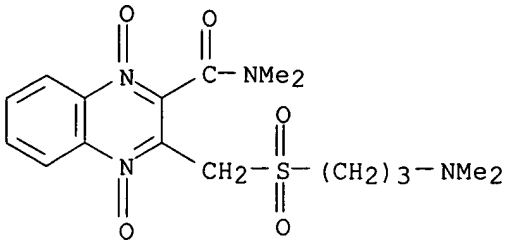
CN 2-Quinoxalinecarboxamide, 3-(dimethoxymethyl)-N,N-dimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



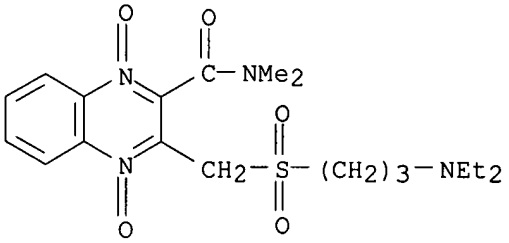
L48 ANSWER 28 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1976:44159 HCAPLUS
DOCUMENT NUMBER: 84:44159
TITLE: 3-Substituted quinoxaline-2-carboxamide-1,4-dioxides
INVENTOR(S): Dirlam, John P.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Ger. Offen., 47 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DE 2520545	A1	19751120	DE 1975-2520545		19750506
DK 7501712	A	19751108	DK 1975-1712		19750421
DK 140940	B	19791210			
DK 140940	C	19800519			
AU 7580530	A1	19761028	AU 1975-80530		19750424
ES 437053	A1	19770116	ES 1975-437053		19750426
BE 828745	A1	19751105	BE 1975-1006643		19750505
FI 7501328	A	19751108	FI 1975-1328		19750506
NL 7505292	A	19751111	NL 1975-5292		19750506
JP 50160286	A2	19751225	JP 1975-54193		19750506
GB 1450518	A	19760922	GB 1975-19058		19750506
FR 2269949	A1	19751205	FR 1975-14453		19750507
AT 7503510	A	19770715	AT 1975-3510		19750507
DK 7800485	A	19780202	DK 1978-485		19780202
PRIORITY APPLN. INFO.:			US 1974-467718	A	19740507
			DK 1975-1712	A	19750421

GI For diagram(s), see printed CA Issue.
AB Quinoxoxalines I (R = H, alkyl, hydroxyalkyl, aminoalkyl, R1 = H; R = R1 Me; R2 hydroxyalkyl, aminoalkyl; n = 0, 2) were prepared Thus II (R3 = Br) was treated with Me3N and II (R3 = N+Me3Br-) treated with HSCH2CH2OH to give I (R = Me, R1 = H, R2 = CH2CH2OH, n = 0), which had a min. inhibitory concentration againsts Streptococcus pyogenes and Escherichia coli of 0.781γ/ml.
IT **57990-41-7P 57990-44-0P 57990-51-9P**
57990-55-3P 57990-63-3P 57990-65-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and **bactericidal** activity of)
RN 57990-41-7 HCAPLUS
CN 2-Quinoxalinecarboxamide, 3-[[[3-(dimethylamino)propyl]sulfonyl]methyl]-N,N-dimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

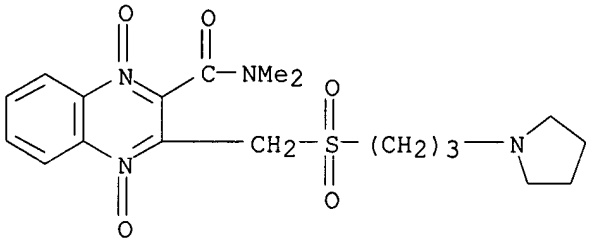


RN 57990-44-0 HCAPLUS
CN 2-Quinoxalinecarboxamide, 3-[[[3-(diethylamino)propyl]sulfonyl]methyl]-N,N-dimethyl-, 1,4-dioxide, monohydrochloride (9CI) (CA INDEX NAME)

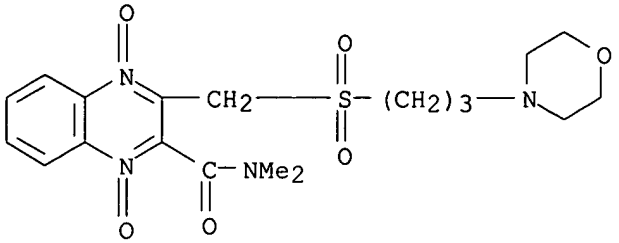


● HCl

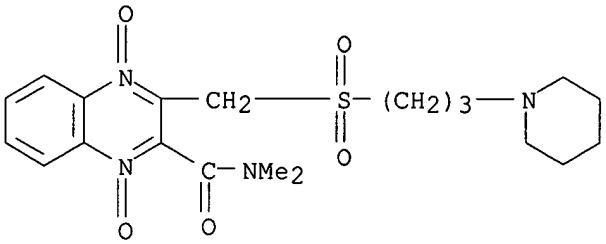
RN 57990-51-9 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-dimethyl-3-[[[3-(1-pyrrolidinyl)propyl]sulfonyl]methyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



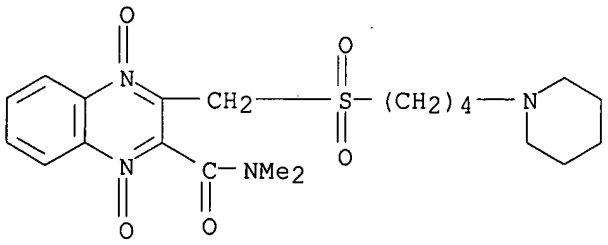
RN 57990-55-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-dimethyl-3-[[[3-(4-morpholinyl)propyl]sulfonyl]methyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 57990-63-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-dimethyl-3-[[[3-(1-piperidinyl)propyl]sulfonyl]methyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 57990-65-5 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-dimethyl-3-[[[4-(1-piperidinyl)butyl]sulfonyl]methyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



L48 ANSWER 29 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1975:593388 HCAPLUS
DOCUMENT NUMBER: 83:193388
TITLE: Cyanoquinoxaline 1,4-dioxide derivatives
INVENTOR(S): McFarland, James W.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Ger. Offen., 26 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DE 2500447	A1	19750717	DE 1975-2500447	19750106
GB 1453677	A	19761027	GB 1974-37032	19740822
GB 1453678	A	19761027	GB 1976-24469	19740822
FR 2256758	A1	19750801	FR 1974-42753	19741224
FR 2256758	B1	19790504		
AU 7476910	A1	19760701	AU 1974-76910	19741231
BE 824065	A1	19750703	BE 1975-1006365	19750103
ES 433593	A1	19770216	ES 1975-433593	19750104
FI 7500017	A	19750708	FI 1975-17	19750106
FI 59998	B	19810731		
FI 59998	C	19811110		
NL 7500097	A	19750709	NL 1975-97	19750106
DK 7500017	A	19750825	DK 1975-17	19750106
DK 140838	B	19791126		
DK 140838	C	19800421		
HU 170490	P	19770628	HU 1975-PI442	19750106
SU 633478	D	19781115	SU 1975-2097436	19750106
JP 50105678	A2	19750820	JP 1975-4618	19750107
JP 60011034	B4	19850322		
DD 116825	Z	19751212	DD 1975-183530	19750107
CH 602670	A	19780731	CH 1975-104	19750107
AT 347467	B	19781227	AT 1975-74	19750107
CS 191253	P	19790629	CS 1975-116	19750107
RO 76604	P	19810430	RO 1975-81062	19750107

PRIORITY APPLN. INFO.: US 1974-431170 A 19740107

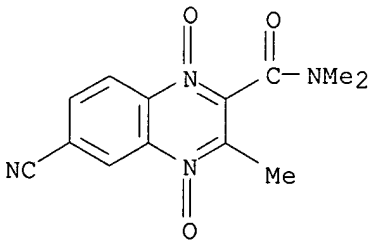
GI For diagram(s), see printed CA Issue.

AB Cyanoquinoxalinecarboxamides I (R = H, Me, Et, CH2CH2OH, CH2CH2NMe2, R1 = H; R = R1 = Me) were prepared by treating 5-cyanobenzofurazan 1-oxide (II) with AcCH2CONRR1 or with diketene and RNH2. I at 50 mg/kg orally in mice gave 90-100% protection against Streptococcus pyogenes infection.

IT **57235-42-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and **bactericidal** activity of)

RN 57235-42-4 HCAPLUS

CN 2-Quinoxalinecarboxamide, 6-cyano-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



L48 ANSWER 30 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1973:147994 HCAPLUS
DOCUMENT NUMBER: 78:147994
TITLE: 1-Hydroxy-3-oxobenzimidazoles, quinoxaline di-N-oxides, and benzimidazole mono- and di-N-oxides
PATENT ASSIGNEE(S): Research Corp.
SOURCE: Brit., 36 pp. Addn. to Brit. 1,215,815 (CA 74; 141873b).

CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
GB 1308370	A	19730228	GB 1970-47202		19701005
US 4343942	A	19820810	US 1969-883577		19691209
PRIORITY APPLN. INFO.:			US 1969-883577	A	19691209
			US 1966-592729	A2	19661108
			NL 1967-14882	A	19671102
			US 1967-691252	A2	19671218

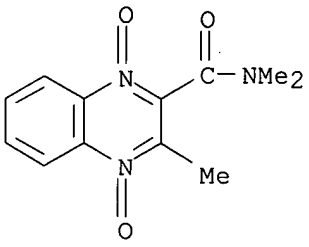
GI For diagram(s), see printed CA Issue.

AB The title compds., useful in the control of pathogenic microorganisms, were prepared from benzofuroxans and compds. containing activated methylene groups. Specific bases used for certain reactants were described. E.g. stirring 6.8 g benzofuroxan, 5.0 g MeCOcH2C:OMe, and 2.96 g PrNH2 in THF overnight gave 0.33 g 2-methyl-3-acetylquinoxaline di-N-oxide. Forty-nine of the quinoxaline oxides (I, R, R1 = H, OMe, CF3, Me, halogen, SO2NH2 and derivs.; R2, R3 = H, alkyl) were similarly prepared from equimolar amts. of benzofuroxan and MeCOCH2- CONR2R3 in THF containing Et2NH.

IT **23696-31-3P 23709-67-3P 31683-24-6P**
31776-71-3P 41153-72-4P 41153-75-7P
41153-77-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

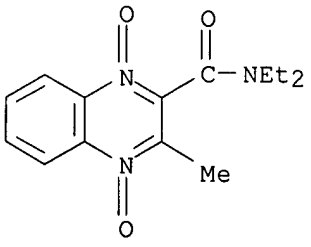
RN 23696-31-3 HCAPLUS

CN 2-Quinoxalinecarboxamide, N,N,3-trimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

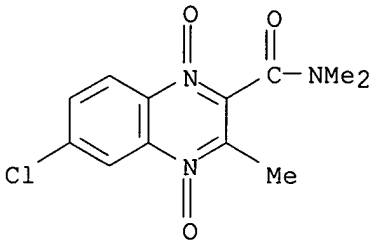


RN 23709-67-3 HCAPLUS

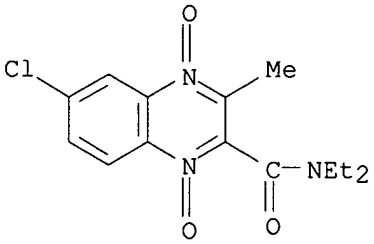
CN 2-Quinoxalinecarboxamide, N,N-diethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



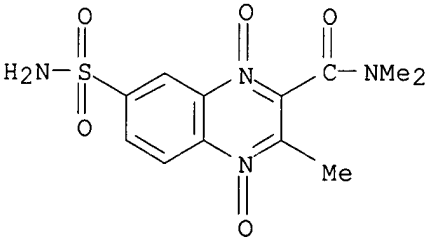
RN 31683-24-6 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-N,N,3-trimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



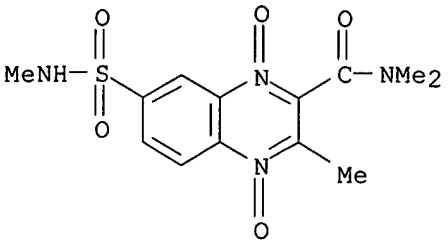
RN 31776-71-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-N,N-diethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



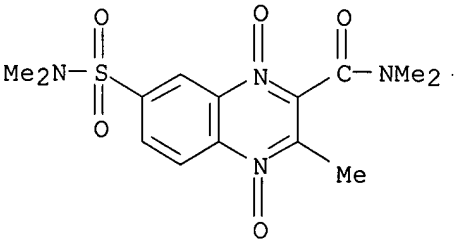
RN 41153-72-4 HCAPLUS
CN 2-Quinoxalinecarboxamide, 7-(aminosulfonyl)-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 41153-75-7 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N,3-trimethyl-7-[(methylamino)sulfonyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 41153-77-9 HCAPLUS
CN 2-Quinoxalinecarboxamide, 7-[(dimethylamino)sulfonyl]-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



L48 ANSWER 31 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1973:43523 HCAPLUS
DOCUMENT NUMBER: 78:43523
TITLE: Antimicrobial 3-carbamoyl-2-formimidoylquinoxaline 1,4-dioxides
INVENTOR(S): Seng, Florin; Ley, Kurt; Metzger, Karl Georg
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Ger. Offen., 30 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2122572	A	19721123	DE 1971-2122572	19710507
US 3839326	A	19741001	US 1972-249121	19720501
CA 980772	A1	19751230	CA 1972-140949	19720501
AU 7241857	A1	19731108	AU 1972-41857	19720503
NL 7206031	A	19721109	NL 1972-6031	19720504
IL 39358	A1	19760229	IL 1972-39358	19720504
BE 783084	A1	19721106	BE 1972-117157	19720505
FR 2137585	A5	19721229	FR 1972-16234	19720505
FR 2137585	B1	19751226		
ZA 7203066	A	19730228	ZA 1972-3066	19720505
HU 163998	P	19731228	HU 1972-BA2742	19720505
GB 1365441	A	19740904	GB 1972-21035	19720505
SE 401832	C	19780907	SE 1972-5970	19720505
ES 402484	A1	19750316	ES 1972-402484	19720506
PL 88122	P	19760831	PL 1972-155218	19720506

US 3896222	A	19750722	US 1973-399445		19730920
US 3957987	A	19760518	US 1974-509325		19740926
PRIORITY APPLN. INFO.:			DE 1971-2122572	A	19710507
			US 1972-249121	A3	19720501
			US 1973-399445	A3	19730930

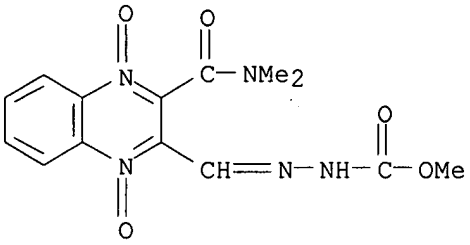
GI For diagram(s), see printed CA Issue.

AB Thirty-seven title compds. (I; R = NOH, NNHCSNH2, NNHCOR3 with R3 = OMe, OEt, OCH2CH2OH, NH2, morpholino, 4-pyridyl; R1 = H, Me, Et; R2 = Me, Pr, Et, CHMe2, CH2CH2OH, CH2CH2OMe, cyclohexyl; or NR1R2 = piperidino, morpholino, 1-pyrrolidinyl) were prepared by reaction of I (R = Cl2) with H2NOH or H2NNHCXR3 (X = O or S). I had inhibiting activities against gram-neg. and gram-pos. bacteria and were used as growth-promoting agents in chicken feed. Thus, I (R = H2, R1 = H, R2 = Me) was chlorinated with Cl in AcOH at 80-5° to give 80% I (R = Cl2, R1 = H, R2 = Me), which with H2NNHCO2Me in EtOH-H2O in the presence of Me2NH for 5 hr gave 78.5% I (R = NNHCO2Me, R1 = H, R2 = Me).

IT **39577-78-1P 39577-79-2P 39577-80-5P**
39577-81-6P 39577-82-7P 39577-83-8P
39577-84-9P 39577-85-0P 39577-87-2P
39578-08-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

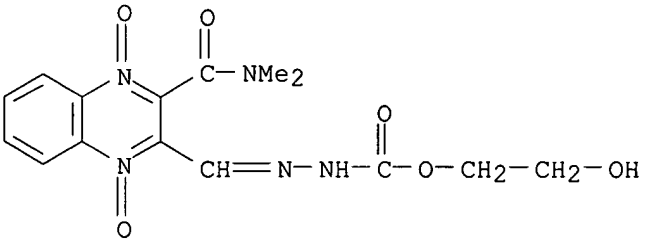
RN 39577-78-1 HCAPLUS

CN Hydrazinecarboxylic acid, [[3-[(dimethylamino)carbonyl]-1,4-dioxido-2-quinoxalinyl]methylene]-, methyl ester (9CI) (CA INDEX NAME)



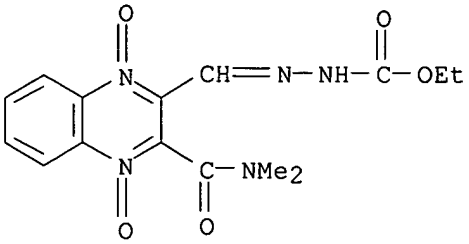
RN 39577-79-2 HCAPLUS

CN Hydrazinecarboxylic acid, [[3-[(dimethylamino)carbonyl]-1,4-dioxido-2-quinoxalinyl]methylene]-, 2-hydroxyethyl ester (9CI) (CA INDEX NAME)

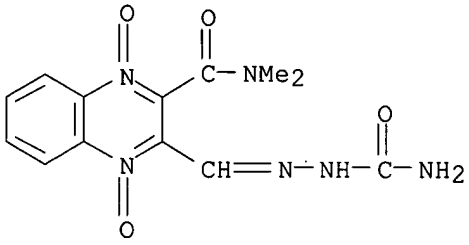


RN 39577-80-5 HCAPLUS

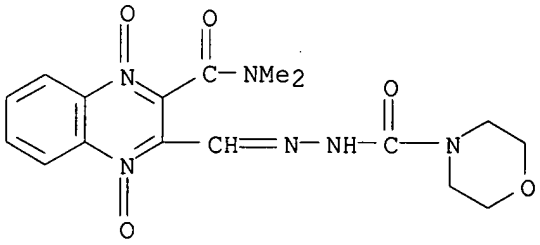
CN Hydrazinecarboxylic acid, [[3-[(dimethylamino)carbonyl]-1,4-dioxido-2-quinoxalinyl]methylene]-, ethyl ester (9CI) (CA INDEX NAME)



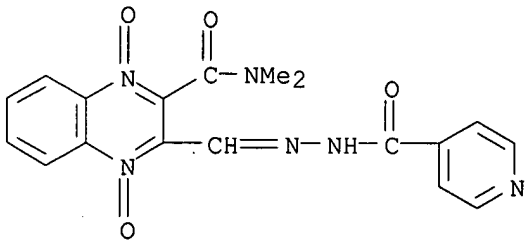
RN 39577-81-6 HCAPLUS
CN 2-Quinoxalinecarboxamide, 3-[[(aminocarbonyl)hydrazono]methyl]-N,N-dimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



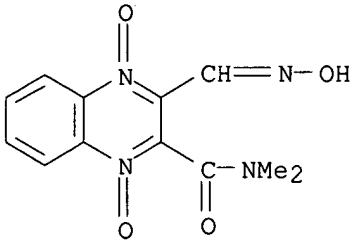
RN 39577-82-7 HCAPLUS
CN 4-Morpholinecarboxylic acid, [[3-[(dimethylamino)carbonyl]-1,4-dioxido-2-quinoxalinyl]methylene]hydrazide (9CI) (CA INDEX NAME)



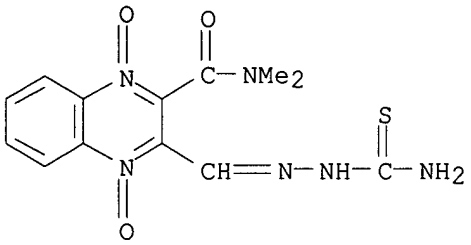
RN 39577-83-8 HCAPLUS
CN 4-Pyridinecarboxylic acid, [[3-[(dimethylamino)carbonyl]-1,4-dioxido-2-quinoxalinyl]methylene]hydrazide (9CI) (CA INDEX NAME)



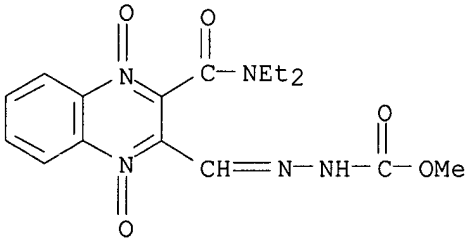
RN 39577-84-9 HCAPLUS
CN 2-Quinoxalinecarboxamide, 3-[(hydroxyimino)methyl]-N,N-dimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



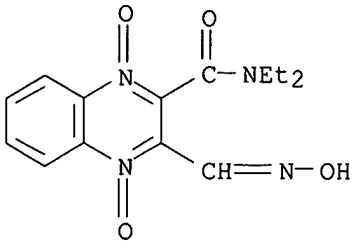
RN 39577-85-0 HCAPLUS
CN 2-Quinoxalinecarboxamide, 3-[[(aminothioxomethyl)hydrazono]methyl]-N,N-dimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



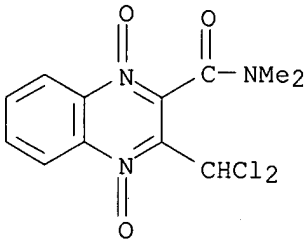
RN 39577-87-2 HCAPLUS
CN Hydrazinecarboxylic acid, [[3-[(diethylamino)carbonyl]-1,4-dioxido-2-quinoxalinyl]methylene]-, methyl ester (9CI) (CA INDEX NAME)



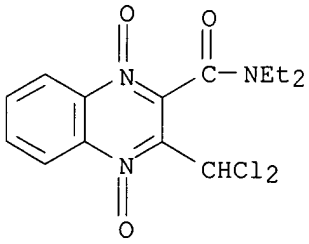
RN 39578-08-0 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-diethyl-3-[(hydroxyimino)methyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



IT **36072-37-4 39576-40-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with acyl hydrazines)
RN 36072-37-4 HCAPLUS
CN 2-Quinoxalinecarboxamide, 3-(dichloromethyl)-N,N-dimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 39576-40-4 HCAPLUS
CN 2-Quinoxalinecarboxamide, 3-(dichloromethyl)-N,N-diethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



L48 ANSWER 32 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1972:462026 HCAPLUS
DOCUMENT NUMBER: 77:62026
TITLE: **Antibacterial** 2-methyl-3-(carboxylic acid amido)quinoxaline 1,4-dioxides
INVENTOR(S): Ley, Kurt; Eholzer, Ulrich; Nast, Roland; Metzger, Karl Georg; Fritsche, Dieter
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3660391	A	19720502	US 1968-764611	19681002
DE 1670935	A	19710225	DE 1967-F53665	19671004
CH 518953	A	19720215	CH 1968-518953	19680916
NO 122017	B	19710510	NO 1968-3806	19680926
BE 721724	A	19690402	BE 1968-721724	19681002
SE 364045	B	19740211	SE 1968-13325	19681002
AT 281836	B	19700610	AT 1968-9643	19681003
DK 119878	B	19710308	DK 1968-4781	19681003
GB 1235869	A	19710616	GB 1968-1235869	19681003
CA 978948	A1	19751202	CA 1968-31584	19681003
NL 6814257	A	19690409	NL 1968-14257	19681004
NL 158702	B	19781215		
BR 6802855	A0	19730222	BR 1968-202855	19681004
FI 49720	B	19750602	FI 1968-2815	19681004
ES 362861	A1	19701116	ES 1969-362861	19690124
US 3908008	A	19750923	US 1972-283442	19720824

PRIORITY APPLN. INFO.:

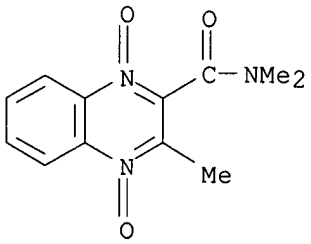
DE 1967-F53665	A	19671004
US 1968-764611	A3	19681002
US 1970-14875	A2	19700219

GI For diagram(s), see printed CA Issue.

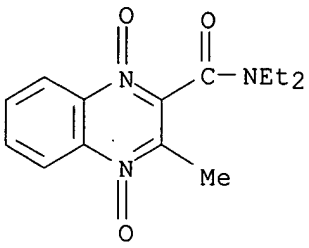
AB Bactericidal 3-methyl-2-quinoxalinecarboxamide 1,4-dioxides [I, R = H, Cl, Me, MeO, R1 = MeNH, PrNH, Me2CHNH, BuNH, Me3CNH, Me2N, Et2N, HOCH2CH2NH, MeOCH2CH2NH, MeO(CH2)3NH, Me2N(CH2)3NH, 4-(β-hydroxyethyl)piperazino, morpholino, (β-piperazinoethyl)amino] were prepared from benzofuroxans (II) and MeCOCH2COR1 in the presence of an amine or NH3. Thus 380 g MeNH2 in MeOH and 330 ml of diketene were mixed at -10 to 0°. After the formation of MeCOCH2CONHMe, 1360 g II (R = H) and 30 moles of NH3 were added to give 1709 g I (R = MeNH). Single oral doses of 6-150 mg/kg of I gave complete protection to infection by Escherichia coli and Staphylococcus aureus, but incremental doses of 200-300 mg/kg gave 0-80% survival after 24 hr to infection by Pseudomonas aeruginosa in white mice. The LD50 range of I is 100-1500 mg/kg. I are also effective against amebas and flagellates in vivo and mycoplasma infections in vitro.

IT **23696-31-3P 23709-67-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 23696-31-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N,3-trimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



RN 23709-67-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-diethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



L48 ANSWER 33 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1972:456779 HCAPLUS
DOCUMENT NUMBER: 77:56779
TITLE: 3-Methylquinoxaline-2-carboxamide 1,4-dioxides against Salmonella infections
INVENTOR(S): Conover, Lloyd H.
PATENT ASSIGNEE(S): Pfizer Inc.
SOURCE: Ger. Offen., 19 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2147545	A	19720413	DE 1971-2147545	19710923
US 3663697	A	19720516	US 1970-78920	19701007
GB 1290453	A	19720927	GB 1971-1290453	19710115
AU 7133486	A1	19730322	AU 1971-33486	19710915
BE 773396	A1	19720404	BE 1971-3442	19711001
FR 2110262	A5	19720602	FR 1971-35488	19711001
JP 58001083	B4	19830110	JP 1971-76407	19711001

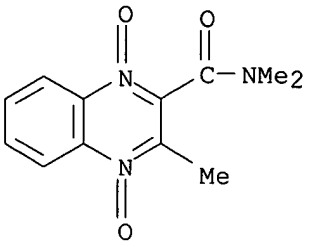
PRIORITY APPLN. INFO.: US 1970-78920 A 19701007

AB Sixteen title compds. (I, R = H, 6- or 7-MeO, F, Cl, or Br; R1 and R2 = H or C1-3 alkyl) were tested against S. cholerae-suis in pigs. A S. cholerae-suis infected pig was effectively treated with 1.5 g 3-methylquinoxaline-2-carboxamide 1,4-dioxide [23433-66-1], daily for 1 week.

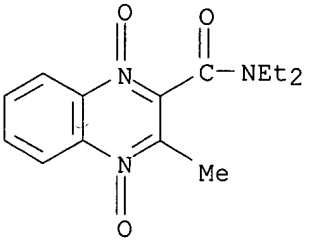
IT **23696-31-3 23709-67-3**
RL: BIOL (Biological study)
(for Salmonella infection treatment)

RN 23696-31-3 HCAPLUS

CN 2-Quinoxalinecarboxamide, N,N,3-trimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



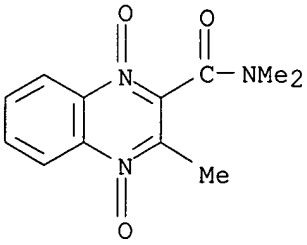
RN 23709-67-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-diethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



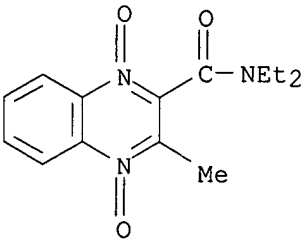
L48 ANSWER 34 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1972:153774 HCAPLUS
DOCUMENT NUMBER: 76:153774
TITLE: **Antibacterial** 2-methyl-3-carbamoylquinoxaline 1,4-dioxides
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Fr. M., 17 pp. Division of Fr. 1,584,628 (CA 74;88046f).
CODEN: FMXXAJ
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 8123	M	19700803	FR 1968-8123	19681230
DE 1670935	A	19710225	DE 1967-F53665	19671004
CH 518953	A	19720215	CH 1968-518953	19680916
NO 122017	B	19710510	NO 1968-3806	19680926
BE 721724	A	19690402	BE 1968-721724	19681002
SE 364045	B	19740211	SE 1968-13325	19681002
AT 281836	B	19700610	AT 1968-9643	19681003
DK 119878	B	19710308	DK 1968-4781	19681003
GB 1235869	A	19710616	GB 1968-1235869	19681003
CA 978948	A1	19751202	CA 1968-31584	19681003
NL 6814257	A	19690409	NL 1968-14257	19681004
NL 158702	B	19781215		
BR 6802855	A0	19730222	BR 1968-202855	19681004
FI 49720	B	19750602	FI 1968-2815	19681004
ES 362861	A1	19701116	ES 1969-362861	19690124

PRIORITY APPLN. INFO.: DE 1967-F53665 A 19671004
GI For diagram(s), see printed CA Issue.
AB The quinoxaline dioxides (I), bactericides for gram-neg. and gram-pos. bacteria, were prepared by reaction of benzofuroxans (II), MeCOCH2CO-NR1R2, and NH3 or a primary amine, or by oxidation of carbamoylquinoxalines with H2O2 or a peracid, or by conversion of 3-substituted (e.g., CO2H, Me, CCl3)-quinoxalines to 3-carbamoyl-quinoxalines. Thus, MeCOCH2CONHMe, II (R = H), and NH3 at 40-5° gave 73.3% I (R = H, R1 = H, R2 = Me). Similarly prepared were the following I (R, R, and R2 given): H, H, Pr; H, H, iso-Pr; H, H, Bu; H, H, tert-Bu; H, H, (CH2)2OH; H, H, (CH2)2OMe; H, H, (CH2)3OMe; H, NR1R2 = 2-piper-azinoethyl; H, Me, Me; H, Et, Et; H, NR1R2 = morpholino; H, NR1R2 = 4-(2-hydroxyethyl)piperazino; H, H, (CH2)3NMe2; 7-Cl, H, (CH2)2OMe; 7-Me, H, (CH2)2OMe; 7-MeO, H, (CH2)2-OMe; 7-Me, H, Me.
IT **23696-31-3P 23709-67-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 23696-31-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N,3-trimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



RN 23709-67-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-diethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



L48 ANSWER 35 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1972:14584 HCAPLUS
DOCUMENT NUMBER: 76:14584
TITLE: 2-Carbamoylquinoxaline 1,4-dioxides
INVENTOR(S): Seng, Florin; Ley, Kurt
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2012743	A	19711007	DE 1970-2012743	19700318
PRIORITY APPLN. INFO.:			DE 1970-2012743 A	19700318

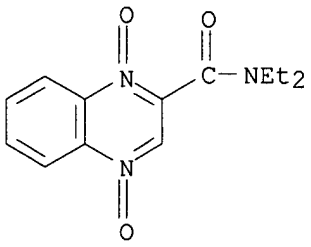
GI For diagram(s), see printed CA Issue.

AB **Bactericidal** title compds. (I) were prepared in 64-77% yield by reaction of corresponding 3-dichloromethyl derivs. with Me2NH in 1:3-5 molar ratio .apprx.1-2 hr at 60-80°. Thus, 2-(dimethylcarbamoyl)-3-methylquinoxaline 1,4-dioxide, prepared according to Belg. 697,967, was dissolved in HOAc and Cl was passed into the solution at 60-70° and the mixture was cooled and poured into H2O to give 71% 3-(dichloromethyl)-2-(dimethylcarbamoyl)quinoxaline 1,4-dioxide (II). II was suspended in EtOH, 45% Me2NH was added and the mixture was heated at 70° to give 77% I (R = R1 = Me). Similarly prepared were 7 other I, e.g. (R and R1 given): Et, Et; CH2CH2OH, Me; and (NRR1 =) 1-pyrrolidinyl or morpholino.

IT **30828-59-2P 36015-44-8P 36015-46-0P**
36015-49-3P 36072-37-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

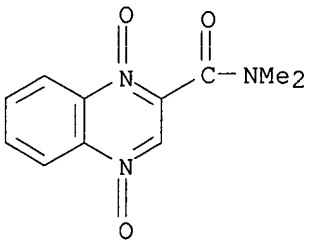
RN 30828-59-2 HCAPLUS

CN 2-Quinoxalinecarboxamide, N,N-diethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



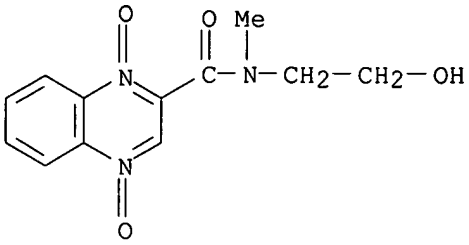
RN 36015-44-8 HCAPLUS

CN 2-Quinoxalinecarboxamide, N,N-dimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)

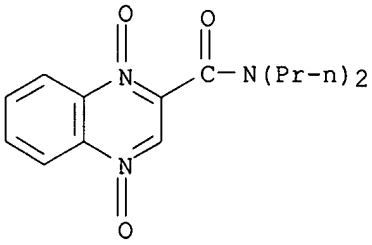


RN 36015-46-0 HCAPLUS

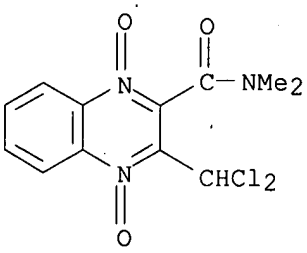
CN 2-Quinoxalinecarboxamide, N-(2-hydroxyethyl)-N-methyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 36015-49-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-dipropyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



RN 36072-37-4 HCAPLUS
CN 2-Quinoxalinecarboxamide, 3-(dichloromethyl)-N,N-dimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



L48 ANSWER 36 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1971:112057 HCAPLUS
DOCUMENT NUMBER: 74:112057
TITLE: **Antibacterial** 3-methyl-2-quinoxalinecarboxamide di-N-oxides
INVENTOR(S): Abuel-Haj, Marwan J.; Cronin, Timothy H.
PATENT ASSIGNEE(S): Pfizer Inc.
SOURCE: Ger. Offen., 53 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

DE 2035480	A	19710211	DE 1970-2035480		19700717
US 3635972	A	19720118	US 1969-843810		19690722
BR 6915087	A0	19730419	BR 1969-215087		19691215
BR 6915238	A0	19730213	BR 1969-215238		19691217
GB 1325581	A	19730801	GB 1970-33489		19700709
FR 2059542	A5	19710604	FR 1970-26396		19700717
FR 2059542	B1	19751128			
CA 978949	A1	19751202	CA 1970-88694		19700721
CA 979455	A1	19751209	CA 1970-88695		19700721
PRIORITY APPLN. INFO.:			US 1969-843775	A	19690722
			US 1969-843810	A	19690722
			US 1970-6550	A	19700128

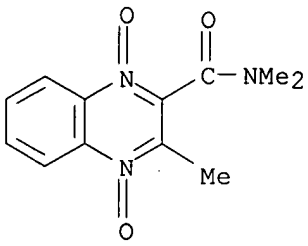
GI For diagram(s), see printed CA Issue.

AB **Antibacterial** and growth-promoting title compds. (I) were prepared by reaction of benzofuroxans (II) with diketene and HNRR1. Thus, reaction of 4.2 g diketene in Et2O, DMF saturated with MeNH2, and 6.8 g II (R2 = R3 = H) 12 hr at room temperature gave 4.5 g I (R = Me, R1 = R2 = R3 = H). Among .apprx.130 compds. similarly prepared were I (R, R1, R2, and R3 given): H, Me, Cl, Cl; H, Et, H, OMe; Et, Et, H, Cl; (RR1N =) morpholino, H, H.

IT **23696-31-3P 23709-67-3P 31674-05-2P**
31674-08-5P 31674-10-9P 31683-24-6P
31686-20-1P 31686-28-9P 31686-31-4P
31686-33-6P 31686-39-2P 31766-32-2P
31776-71-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

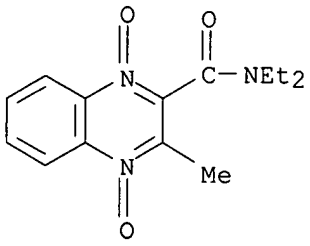
RN 23696-31-3 HCAPLUS

CN 2-Quinoxalinecarboxamide, N,N,3-trimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



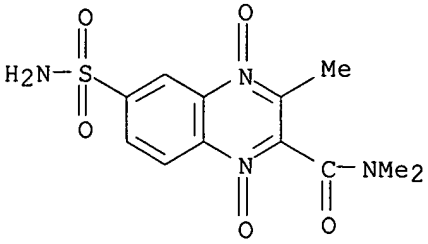
RN 23709-67-3 HCAPLUS

CN 2-Quinoxalinecarboxamide, N,N-diethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

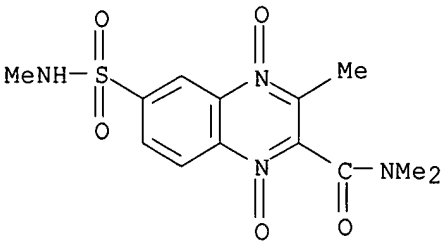


RN 31674-05-2 HCAPLUS

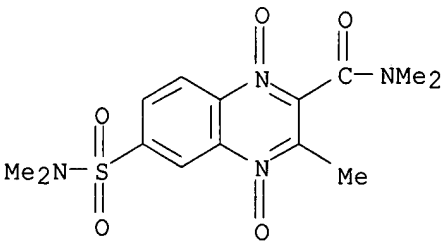
CN 2-Quinoxalinecarboxamide, 6-(aminosulfonyl)-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



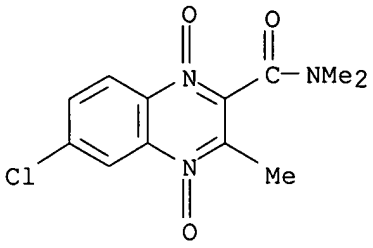
RN 31674-08-5 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N,3-trimethyl-6-[(methylamino)sulfonyl]-, 1,4-dioxide (9CI) (CA INDEX NAME)



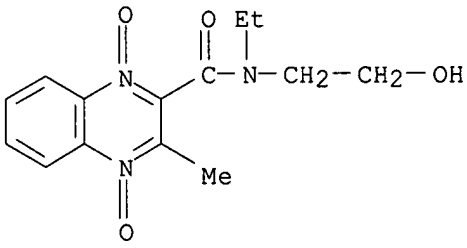
RN 31674-10-9 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-[(dimethylamino)sulfonyl]-N,N,3-trimethyl-, 1,4-dioxide (9CI) (CA INDEX NAME)



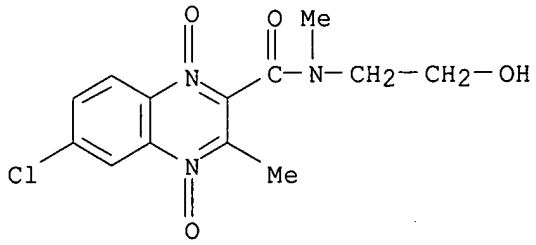
RN 31683-24-6 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-N,N,3-trimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



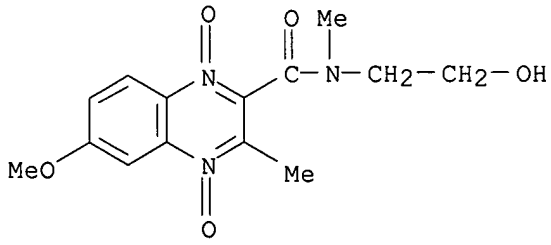
RN 31686-20-1 HCAPLUS
CN 2-Quinoxalinecarboxamide, N-ethyl-N-(2-hydroxyethyl)-3-methyl-, 1,4-dioxide (8CI) (CA INDEX NAME)



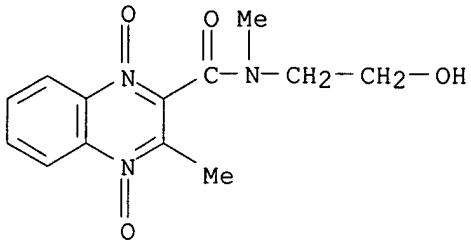
RN 31686-28-9 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-N-(2-hydroxyethyl)-N,3-dimethyl-, 1,4-dioxide (8CI) (CA INDEX NAME)



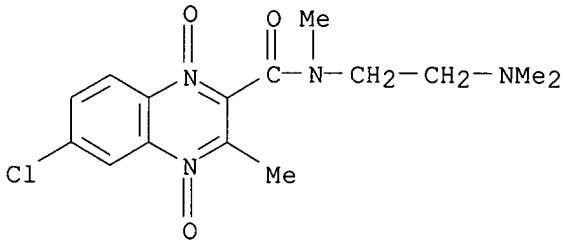
RN 31686-31-4 HCAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-hydroxyethyl)-6-methoxy-N,3-dimethyl-, 1,4-dioxide (8CI) (CA INDEX NAME)



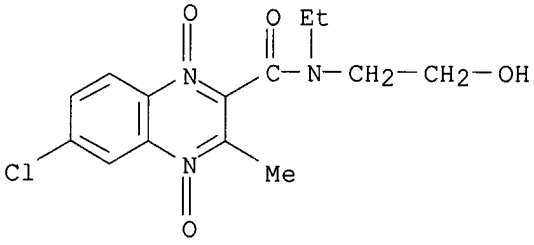
RN 31686-33-6 HCAPLUS
CN 2-Quinoxalinecarboxamide, N-(2-hydroxyethyl)-N,3-dimethyl-, 1,4-dioxide (8CI) (CA INDEX NAME)



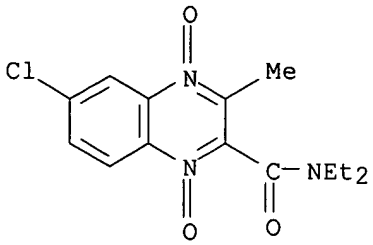
RN 31686-39-2 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-N-[2-(dimethylamino)ethyl]-N,3-dimethyl-, 1,4-dioxide (8CI) (CA INDEX NAME)



RN 31766-32-2 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-N-ethyl-N-(2-hydroxyethyl)-3-methyl-, 1,4-dioxide (8CI) (CA INDEX NAME)



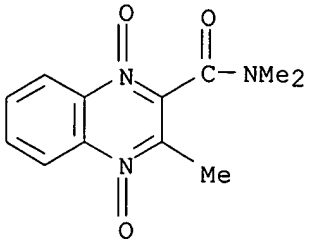
RN 31776-71-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, 6-chloro-N,N-diethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



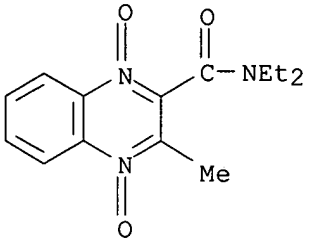
L48 ANSWER 37 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1971:88046 HCAPLUS
DOCUMENT NUMBER: 74:88046
TITLE: **Antibacterial** di-N-(1,4)-oxides of 2-methyl-3-carboxamidoquinoxalines
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Fr., 16 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1594628	A	19700608	FR 1968-1594628	19681004
DE 1670935	A	19710225	DE 1967-F53665	19671004
CH 518953	A	19720215	CH 1968-518953	19680916
NO 122017	B	19710510	NO 1968-3806	19680926
BE 721724	A	19690402	BE 1968-721724	19681002
SE 364045	B	19740211	SE 1968-13325	19681002
AT 281836	B	19700610	AT 1968-9643	19681003
DK 119878	B	19710308	DK 1968-4781	19681003
GB 1235869	A	19710616	GB 1968-1235869	19681003
CA 978948	A1	19751202	CA 1968-31584	19681003
NL 6814257	A	19690409	NL 1968-14257	19681004
NL 158702	B	19781215		
BR 6802855	A0	19730222	BR 1968-202855	19681004
FI 49720	B	19750602	FI 1968-2815	19681004
ES 362861	A1	19701116	ES 1969-362861	19690124

PRIORITY APPLN. INFO.: DE 1967-F53665 A 19671004
GI For diagram(s), see printed CA Issue.
AB Benzo-furoxans (I) are treated with 1-1.2 moles acetoacetamides Ac-CH2CONR1R2 in 1-3 moles NH3 at 30-60° to give quinoxaline dioxides (II). II (R1 = H, alkyl; R2 = alkyl or substituted alkyl; or R1R2N = morpholino or a substituted 1-piperazinyl group) are prepared II can also be prepared by the H2O2 or organic per-acid oxidation of III.
IT **23696-31-3P 23709-67-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 23696-31-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N,3-trimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



RN 23709-67-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-diethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



L48 ANSWER 38 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1970:445539 HCAPLUS
DOCUMENT NUMBER: 73:45539
TITLE: **Antibacterial** 2-hydroxymethyl-3-carbamoylquinoxaline N,N'-dioxides
INVENTOR(S): Seng, Florian; Ley, Kurt; Metzger, Karl G.
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Ger. Offen., 24 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1813918	A	19700625	DE 1968-1813918	19681211
DE 1813918	C3	19790215		
CH 523263	A	19720531	CH 1969-523263	19691114
IL 33364	A1	19730730	IL 1969-33364	19691114
GB 1254340	A	19711117	GB 1969-1254340	19691125
US 3682906	A	19720808	US 1969-880968	19691128
DK 126654	B	19730806	DK 1969-6393	19691202
FI 51183	B	19760802	FI 1969-3491	19691202
BR 6914788	A0	19730308	BR 1969-214788	19691205
NL 6918463	A	19700615	NL 1969-18463	19691209
NO 125186	B	19720731	NO 1969-4878	19691210
SE 356300	B	19730521	SE 1969-17049	19691210
BE 742970	A	19700611	BE 1969-742970	19691211
FR 2025909	A5	19700910	FR 1969-43010	19691211
FR 2025909	B1	19730713		

AT 294105	B	19711110	AT 1969-11529		19691211
US 3801711	A	19740402	US 1971-181245		19710916
PRIORITY APPLN. INFO.:			DE 1968-1813918	A	19681211
			US 1969-880968	A3	19691128

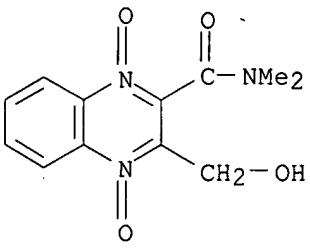
GI For diagram(s), see printed CA Issue.

AB **Antibacterial** title compds. (I), suitable as feed additives, were prepared by reaction of II and R1R2NH. Thus, reaction of II (R = H) with morpholine in C6H6 10 hr gave 91.7% I [R = H, (NR1R2 =) morpholino]. Similarly prepared were the following I (R, R1, and R2 given): H, Me, Me; H, H, H; H, H, Me; H, H, Et; H, H, Pr; H, H, iso-Pr; H, H, cyclohexyl; H, H, HOCH2CH2; H, H, MeOCH2CH2; H, H, PhCH2; H, H, CH2:CHCH2; H, H, NH2; H, H, NHOH; H, H, MeCH(OH)CH2; H, H, MeCH(OH)CH2CH2; H, H, HO(CH2)3; and the following I (R and NR1R2 given): Me, morpholino; Cl, morpholino; H, pyrrolidino; H, 4-methylpiperazino. Formulations containing I as active components were described.

IT **27520-03-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal activity of)

RN 27520-03-2 HCAPLUS

CN 2-Quinoxalinecarboxamide, 3-(hydroxymethyl)-N,N-dimethyl-, 1,4-dioxide (8CI) (CA INDEX NAME)



L48 ANSWER 39 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1970:3509 HCAPLUS

DOCUMENT NUMBER: 72:3509

TITLE: **Bactericidal** 2-halomethyl-3-amidoquinoxaline 1,4-N-oxides

INVENTOR(S): Ley, Kurt; Eholzer, Ulrich; Nast, Roland; Metzger, Karl G.; Fritsche, Dieter

PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.

SOURCE: S. African, 20 pp.
CODEN: SFXXAB

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6806098		19690226		
PRIORITY APPLN. INFO.:			DE	19671004

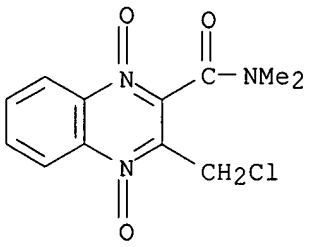
GI For diagram(s), see printed CA Issue.

AB **Bactericidal** activities and prepns. of the title compds., I [R = Cl or Br, R1 = H, Me or Et; R2 = Me, Et, H, Pr, Me2CH, Bu, Me3C, C12H25, CH2CH2OMe or CH2CH2OAc, (R1R2 =) (CH2)4 or (CH2)5] are described. For example, 380 g MeNH2 in 2 l. MeOH was treated with 830 ml diketene at -10

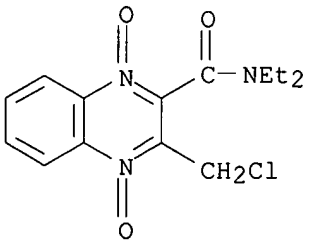
to 0°, stirred 2 hr at 35°, treated with 1360 g benzofuroxan followed by 30 moles NH3 at <45° and stirred 6-8 hr at 40-5° to give, on cooling, 73.3% I (R = R1 = H, R2 = Me) (II), m. 214° (decomposition). Chlorination of 233 g II in 700 ml CHCl3 with 90 g Cl gave 68% I (R = Cl, R1 = H, R2 = Me), m. 195-6°.

IT **24835-48-1P 24835-49-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 24835-48-1 HCAPLUS
CN 2-Quinoxalinecarboxamide, 3-(chloromethyl)-N,N-dimethyl-, 1,4-dioxide (8CI) (CA INDEX NAME)



RN 24835-49-2 HCAPLUS
CN 2-Quinoxalinecarboxamide, 3-(chloromethyl)-N,N-diethyl-, 1,4-dioxide (8CI) (CA INDEX NAME)



L48 ANSWER 40 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1969:491533 HCAPLUS
DOCUMENT NUMBER: 71:91533
TITLE: Derivatives of 2-quinoxalinecarboxamide 1,4-dioxide
INVENTOR(S): Ley, Kurt; Eholzer, Ulrich; Nast, Roland; Ketzger, Karl G.; Fritsche, Dieter
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: S. African, 23 pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6806096		19690226		
DE 1670936			DE	
FR 1597550			FR	

FR 8124		FR	
GB 1231594		GB	
US 3558624	19710000	US	
US 3694555	19720000	US	
PRIORITY APPLN. INFO.:		DE	19671004

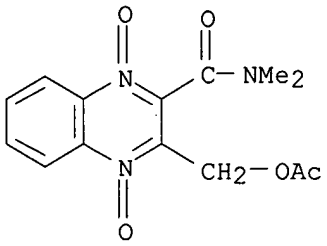
GI For diagram(s), see printed CA Issue.

AB I (R = H, alkyl, alkoxy or Cl; R1, R2 = H, alkyl, alkoxy, R3 = substituted alkyl or phenyl; X = O or S) having **bactericidal** or fungicidal activities are prepared Thus, a boiling suspension of 233 g. 2-methyl-3-(N-methylamidocarbonyl)quinoxaline 1,4-dioxide in 700 ml. CHCl3 was treated with 90 g. Cl 3 hrs., stirred 30 min. at reflux and bubbled with an air stream, to remove HCl formed, to give 181 g. 2-chloromethyl-3-(N-methylamidocarbonyl)-quinoxaline 1,4-dioxide, m. 195-60°. A suspension of 28.2 g. 2-chloromethyl-3-(N-ethylamidocarbonyl)quinoxaline 1,4-dioxide in 100 g. EtOH was treated with 10 g. NaOC in 25 ml. water and boiled 1 hr. to give, on cooling, 20 g. I (R = R1 = H, R2 = Et, R3 = Me, X = O), m. 153°. Other I derivs. were also prepared similarly.

IT **23698-35-3P 23698-38-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

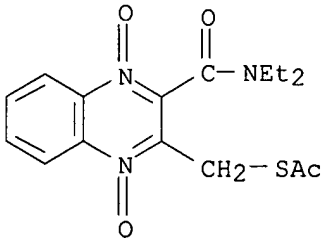
RN 23698-35-3 HCAPLUS

CN 2-Quinoxalinecarboxamide, 3-(hydroxymethyl)-N,N-dimethyl-, acetate (ester), 1,4-dioxide (8CI) (CA INDEX NAME)



RN 23698-38-6 HCAPLUS

CN Acetic acid, thio-, S-ester with N,N-diethyl-3-(mercaptomethyl)-2-quinoxalinecarboxamide 1,4-dioxide (8CI) (CA INDEX NAME)



L48 ANSWER 41 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1969:491528 HCAPLUS

DOCUMENT NUMBER: 71:91528

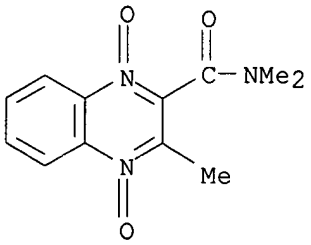
TITLE: 2-Methyl-3-quinoxalinecarboxamide 1,4-dioxides

INVENTOR(S): Ley, Kurt; Eholzer, Ulrich; Nast, Roland; Metzger, Karl G.; Fritsche, Dieter

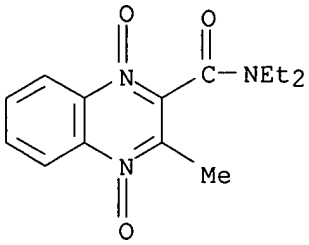
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: S. African, 23 pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6806099		19690226		
CA 978948			CA	
DE 1670935			DE	
DE 1670937			DE	
FR 1597551			FR	
FR 8125			FR	
GB 1188249			GB	
US 3557109		19710000	US	
US 3660391		19720000	US	
US 3754087		19730000	US	
US 3908008		19750000	US	
PRIORITY APPLN. INFO.:			DE	19671004

GI For diagram(s), see printed CA Issue.
AB The title compds. (I) having **bactericidal** activity are prepared Thus, a solution of 380 g. MeNH2 in 2 l. MeOH was treated with 830 ml. diketene at -10 to 0°, stirred 2 hrs. at 35°, treated with 1360 g. benzofuroxan portionwise followed by 30 moles NH3 at <45° and stirred 6-8 hrs. to give, on cooling, 1709 g. I (R = R1 = H, R2 = Me), m. 214° (decomposition). I derivs. were similarly prepared
IT **23696-31-3P 23709-67-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 23696-31-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N,3-trimethyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)



RN 23709-67-3 HCAPLUS
CN 2-Quinoxalinecarboxamide, N,N-diethyl-3-methyl-, 1,4-dioxide (8CI, 9CI) (CA INDEX NAME)

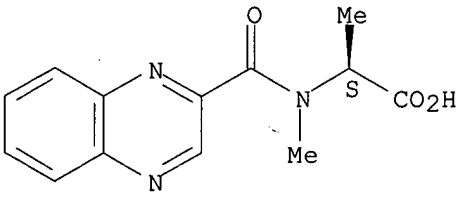


L48 ANSWER 42 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1969:47852 HCAPLUS
DOCUMENT NUMBER: 70:47852
TITLE: Quinoxalines. XIV. Potential anticancer agents. Quinoxaline amino acid and dipeptide derivatives related to quinoxaline **antibiotics**
AUTHOR(S): Gerchakov, Shlomo; Schultz, Harry Pershing
CORPORATE SOURCE: Univ. of Miami, Coral Gables, FL, USA
SOURCE: Journal of Medicinal Chemistry (1969), 12(1), 141-4
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 2-Quinoxaloyl chloride was utilized to prepare 13 N-(2-quinoxaloyl) derivs. of amino acids and dipeptides related to quinoxaline **antibiotics** . N-(2-Quinoxaloyl)-L-valyl-L-alanine possessed the most (albeit slight) antitumor activity.

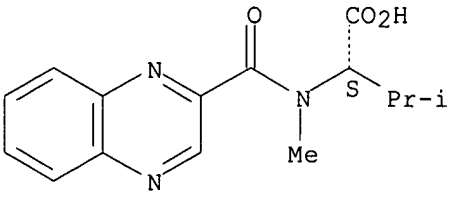
IT **21704-83-6P 21704-84-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 21704-83-6 HCAPLUS
CN Alanine, N-methyl-N-(2-quinoxalinylcarbonyl)-, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 21704-84-7 HCAPLUS
CN Valine, N-methyl-N-(2-quinoxalinylcarbonyl)-, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



ointments, creams or powders. 3-Carboxy-2-quinoxalinylpenicillin may be used as a parenteral injection to combat bovine mastitis. For example, 2,3-quinoxalinedicarboxylic anhydride (0.83 g.) was added during 2 min. to a suspension of 0.896 g. 6-aminopenicillanic acid in 2.5 cc. HCONMe2 and 1.75 cc. of NEt3 which had been stirred at 0° 2 hrs. Stirring at 0° was continued 35 min., the semi-solid mass filtered, and the residue washed with dry acetone and dry Et2O to give the monohydrate bis(triethylamine) salt of 3-carboxy-2-quinoxalinylpenicillin (I), m. 135-7° (decomposition), α 20 D 142° (c 0.376, H2O). 2,3-Quinolinedicarboxylic anhydride (1.99 g.) and 2.16 g. 6-aminopenicillanic acid were allowed to react in 15 cc. HCONMe2 and 4.2 cc. NEt3 as described. The addition of dry Et2O (50 cc.) precipitated an oil which was separated and dissolved in 10 cc. of H2O. This aqueous solution was washed with Et2O, chilled, and acidified with shaking in the presence of Et2O again. The ethereal exts. were washed with H2O, dried, and then treated with benzykanube ti pH 8.0. The light yellow precipitate was filtered off, washed with dry Et2O, and dried in vacuo to give an isomeric mixture of the dibenzylamine salts of 3-carboxy-2-quinolylpenicillin and 2-carboxy-3-quinolylpenicillin, m. 154-7° (decomposition), α 20 D 141° (c 0.5, H2O). Also, 440 cc. HCONMe2 and 96 cc. redistd. aqueous azeotrope of NEt3 (b. 76°, 90% by weight base) was cooled to 0.3° in a 2-l. flask with stirring, 43.2 g. 6-aminopenicillanic acid added, the mixture stirred 15 min., 40 g. 2,3-quinoxalinedicarboxylic anhydride added over 2 hrs., and stirring at 0.3° continued 2 hrs. more during which time the product began to precipitate Me2CO (1320 cc.) was added with stirring and the mixture kept at 0-3° overnight to give I, m. 135-7° (decomposition), α 20 D 138°. Colorimetric assay with hydroxylamine against benzylpenicillin corresponded to a purity of 110%. The di-Na salt-H2O (III) of I m. 253-4° (decomposition), α 20 D 175° (H2O). Similarly, 0.7 cc. NEt3 was added to a stirred solution of 0.835 g. 2,3-pyridinedicarboxylic acid in 50 cc. dry tetrahydrofuran, the solution cooled to 0°, 0.5 cc. ethyl chloroformate added dropwise, and stirring continued at 0° 1 hr. After cooling to -30°, the mixture was filtered and the filtrate added to an aqueous solution of K 6-aminopenicillanate. This mixture was stirred 1.5 hrs. during which time it came to room temperature, the solvent evaporated at 30°/3 mm., and the last traces of H2O were removed by azeotropic distillation with BuOH under the same conditions to give an isomeric mixture of K 3-carboxy-2-pyridylpenicillinate and K 2-carboxy-3-pyridylpenicillinate, m. 190-5° (decomposition); hydroxylamine assay indicated 100% purity. The same method was used to effect the conversion of 3,4-pyridinedicarboxylic acid to an isomeric mixture of K 3-carboxy-4-pyridylpenicillinate and K 4-carboxy-3-pyridylpenicillinate, m. 170-80° (decomposition). The purity was about 80% (hydroxylamine assay). A solution of Na 6-aminopenicillinate was prepared from 1.4 g. of the acid and 2.5 g. NaHCO3 in 25 cc. H2O and 5 cc. Me2CO cooled to 0°. A solution of 3-benzyloxycarbonyl-2-quinoxalinecarbonyl chloride (prepared by refluxing 2 g. 3-benzyloxycarbonyl-2-quinoxalinecarboxylic acid with 1.5 ml. SOCl2 30 min. and evaporating the excess SOCl2 in vacuo) in 10 cc. dry Me2CO was added to the stirred solution of the above Na salt dropwise during 10 min., the temperature kept at 0° 5 min. more, 5 cc. MeCOBu-iso added, and the mixture stirred for 15 min. more, during which time it reached room temperature After discarding the organic layer, the aqueous phase was covered with 50 cc. ether and acidified with 2N HCl, and the ethereal extract washed with 10

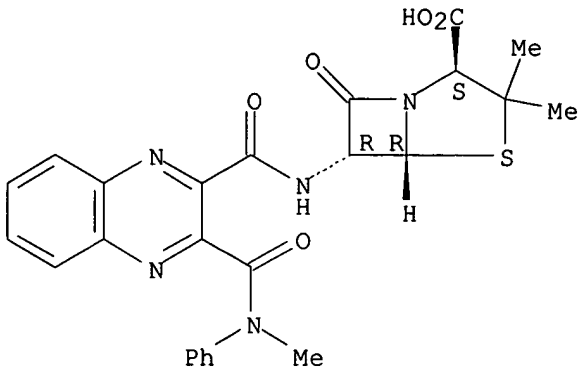
cc. H2O, dried, evaporated under reduced pressure to 10 cc., and cooled to 0° to precipitate 3-benzyloxycarbonyl-2-quinoxalinylpenicillin, m. 167-70° (decomposition). Also, 0.5 cc. ethyl chloroformate was added dropwise to a stirred solution of 1.23 g. 3-ethoxycarbonyl-2-quinoxalinecarboxylic acid and 0.7 cc. NEt3 in 50 cc. dry tetrahydrofuran at 0°, the mixture stirred at 0° 1 hr., cooled to -30°, and filtered, the filtrate added to a stirred aqueous solution of K 6-aminopenicillinate, stirring continued 1.5 hrs., and the solvent evaporated in vacuo to yield crude K 3-ethoxycarbonyl-2-quinoxalinylpenicillin, m. 210-15° (decomposition), purity 86% (hydroxylamine assay). This procedure was used to convert a number of hemi-esters and hemi-amides of 2,3-quinoxalinedicarboxylic acid to the K salts of the following esters of 3-carboxy-2-quinoxalinylpenicillin [alc. moiety, m.p. (decomposition), and % purity (hydroxylamine assay) given]: Pr, 200-10°, 73; iso-Pr, 205-10°, 100; Bu, 150-60°, 71; n-decyl, 230-5°, 73; Et2NCH2CH2, 200-10°, 94; cyclohexyl, 155-6°, -; Ph, 205-10°, 78; benzyl, 130-5°, 100. Also prepared were the K salts of the following amides of 3-carboxy-2-quinoxalinypenicillin (amine moiety, m.p. (decomposition), and % purity (hydroxylamine assay) given]: NH2, 180-90°, 56; Et2N, 210-15°, 75; PrNH, 140-50°, 41; piperidino, 200-10°, 97; PhNH, 205-10°, 50; N-methylanilino, 193-9°, 95. 3-Methoxy-2-quinoxalinecarboxylic acid (1.16 g.) was converted to its NEt3 salt and then treated with K 6-aminopenicillinate by the last procedure. Instead of evaporating the solvent, a further amount of H2O (20 cc.) and Et2O (50 cc.) were added, the mixture was well shaken, the aqueous phase separated, covered with 30 cc. of Et2O, cooled with ice, and acidified with 2N HCl with vigorous shaking, the ethereal extract washed with H2O and extracted with 0.5 g. of NaHCO3 in 20 cc. of H2O, the ethereal layer discarded, MeCOBu-iso added to the aqueous phase. which was then chilled with ice and acidified with 2N HCl, and the organic layer was separated, washed with 20 cc. H2O four times, dried, and treated with K 2-ethylhexanoate in MeCOBu-iso (6.7% by weight) until there was no further turbidity to give 3-methoxycarbonyl-2-quinoxalinylpenicillin, m. 210-20°, 95% pure (hydroxylamine assay). The ir absorption spectra of all the penicillins prepared were characteristic of a β-lactam ring system. Descriptions of pharmaceutical formulations were given.

IT **13233-25-5P 13262-20-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 13233-25-5 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-6-[3-(methylphenylcarbamoyl)-2-quinoxalinecarboxamido]-7-oxo-, monopotassium salt (8CI) (CA INDEX NAME)

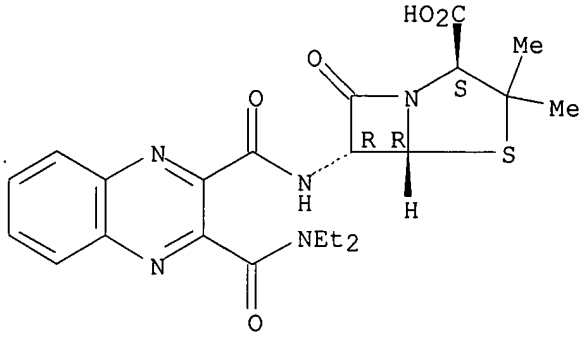
Absolute stereochemistry.



● K

RN 13262-20-9 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[3-(diethylcarbamoyl)-2-quinoxalinecarboxamido]-3,3-dimethyl-7-oxo-, monopotassium salt (8CI) (CA INDEX NAME)

Absolute stereochemistry.



● K

L48 ANSWER 45 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1963:456940 HCAPLUS
DOCUMENT NUMBER: 59:56940
ORIGINAL REFERENCE NO.: 59:10497f-h,10498a-b
TITLE: Quinacillin, a new penicillin with unusual properties
AUTHOR(S): Richards, H. C.; Housley, J. R.; Spooner, D. F.
CORPORATE SOURCE: Boots Pure Drug Co., Nottingham, UK
SOURCE: Nature (London, United Kingdom) (1963), 199(4891), 354-6
CODEN: NATUAS; ISSN: 0028-0836
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. CA 53, 13264c. In search of penicillins resistant to staphylococcal penicillinase hydrolysis, (carboxymethyl)phenylbenzylpenicillin was prepared

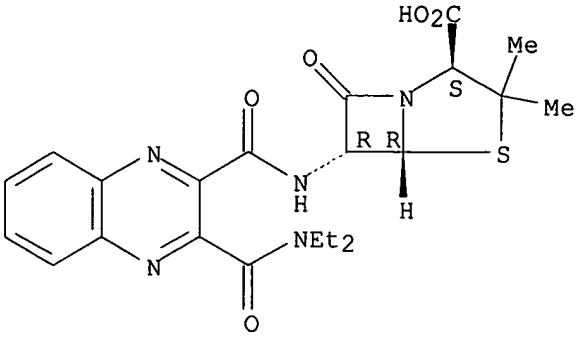
with min. inhibitory concentration (γ/ml.) against Staphylococcus aureus designated as highly penicillin-resistant >500, mod. penicillin-resistant 33.3, and penicillin-sensitive 0.01. Other semisynthetic penicillins were tested (side chain acid, min. inhibitory concns. as above given, resp.): 2-pyridine carboxylic 500, 11.1, 0.4; 3-pyridinecarboxylic >500, 100, 1.2; 4-pyridinecarboxylic 500, 100, 0.4; 3-methyl-2-pyridinecarboxylic 500, 33.3, 0.4; 6-methyl-2-pyridinecarboxylic 500, 3.7, 0.4; 2-quinolinecarboxylic 500, 1.2, 0.04; 2,3-pyridinedicarboxylic 11.1, 11.1, 3.7; 2,3-pyrazinedicarboxylic 33.3, 11.1, 1.2; 5,6-dimethyl-2,3-pyrazinedicarboxylic 33.3, 11.1, 3.7; 2,3quinolinedicarboxylic 0.4, 0.4, 0.4; 2,3-quinoxalinedicarboxylic 0.4, 0.4, 0.4; 6,7-dimethyl-2,3-quinoxalinedicarboxylic 11.1, 3.7, 3.7; 6,7-dichloro-2,3-quinoxalinedicarboxylic 33.3, 11.1, 3.7. The di-Na salt of 3-carboxy-2-quinoxalinecarbonylpenicillin (quinacillin) (IV) is prepared by condensation of 2,3-quinoxalinedicarboxylic anhydride with 6-aminopenicillanic acid in HCONMe2 and Et3N and separated from Me2CO as the bis(triethylammonlum) salt monohydrate, m.p. 135-7° (decompose), [α]20D + 142 (c 0.376, H2O). An aqueous solution of the salt heated with saturated NaOAc gives IV as cream colored needles dried in vacuo at 40°, m. 260° (decompose) containing 9% H2O. Anhydrous IV prepared by drying at 100° at 2 mm. m. 261-2° (decompose) and [α]23D + 183.5 (H2O) very hygroscopic and acquiring bright yellow color in sunlight, stable for 2 months at 0°, half life 12 days at 37, half life in 50% EtOH 0.1N HCl, 290 min. and deep violet chelate forms with Fe(II) and a red color with Cu(I). Bacteriostatic activity of several dilns. in agar, peptone yeast extract, glucose containing 10% ox serum at pH 7.0 inoculated with 0.01 ml. culture and incubated for 24 hrs. at 37 gave min. inhibitory concns. in γ/ml. as follows: Staphylococcus aureus 0.15-0.62, Streptococcus pyogenes 3.7, Streptococcus (groups, B, C, D, 5 species) 3.7- >100, Diplococcus pneumoniae 3.7, Corynebacterium (4 species) 3.7-11.1, Sarcina lutea 11.1, Bacillus (6 species) 33.3, Lactobacillus (3 species) >100, Bordetella parapertussis >100, Neisseria catarrhalis >100, Escherichia coli >100, Proteus (4 species) >100, Salmonella (6 species) >100, Shigella (3 species) >100, Pseudomonas (2 species) >100. Bacteriostatic activity compared with benzylpenicillin against 50 strains of S. aureus from clin. sources at concns. 1.2 γ/ml. or greater at pH 7.0 showed no growth while benzylpenicillin showed growth at 1.2, 50, and 100 γ/ml. Min. inhibitory concentration in γ/ml. of some ester and amide derivs. against S. aureus were given.

IT **100770-04-5**, 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[3-(diethylcarbamoyl)-2-quinoxalinecarboxamido]-3,3-dimethyl-7-oxo-
103820-23-1, 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-6-[3-(methylphenylcarbamoyl)-2-quinoxalinecarboxamido]-7-oxo-
(preparation of)

RN 100770-04-5 HCAPLUS

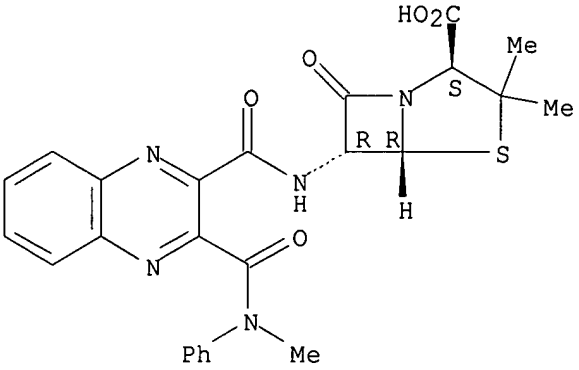
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[3-(diethylcarbamoyl)-2-quinoxalinecarboxamido]-3,3-dimethyl-7-oxo- (7CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 103820-23-1 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-6-[3-(methylphenylcarbamoyl)-2-quinoxalinecarboxamido]-7-oxo- (7CI) (CA INDEX NAME)

Absolute stereochemistry.



L48 ANSWER 46 OF 46 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1953:44613 HCAPLUS
DOCUMENT NUMBER: 47:44613
ORIGINAL REFERENCE NO.: 47:7508a-i,7509a-e
TITLE: Experimental chemotherapy of **tuberculosis**. II. The synthesis of pyrazinamides and related compounds
AUTHOR(S): Kushner, S.; Dalalian, H.; Sanjurjo, J. L.; Bach, F. L., Jr.; Safir, S. R.; Smith, V. K., Jr.; Williams, J. H.
CORPORATE SOURCE: American Cyanamid Co., Stamford, CT
SOURCE: Journal of the American Chemical Society (1952), 74, 3617-21
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. C.A. 43, 5025b. To 5.0 g. 2-aminothiazole was added slowly a suspension of 3.5 g. freshly prepared pyrazinoyl chloride (I) in 15 cc. EtOAc, the mixture heated 10 min. on a steam bath, the supernatant hot EtOAc decanted, the residue heated again with 15 cc. EtOAc, the procedure repeated, the combined EtOAc-layers were evaporated to dryness, and the solid, yellow residue was washed with cold H2O, filtered, dried, and recrystd.

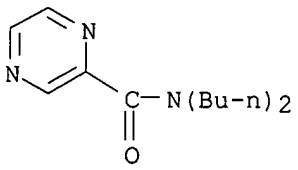
from hot EtOAc to give 3.0 g. (60%) N-(2-thiazolyl)pyrazinamide, m. 187-9°. By the same procedure were prepared the following N-mono- or N,N-disubstituted pyrazinamides (substituent given): Me, m. 105°; Me2, m. 70-2°; Bu 20%, b3 167-70°; C16H33 50%, m. 85-7° (from C6H6-EtOH); PhCH2, m. 116-18°; Ph 55-60%, m. 127-30°; p-ClC6H4 60%, m. 184-5°; o-ClC6H4 60%, m. 135-6°; m-ClC6H4 60%, m. 145-7°; 2-pyridyl, 65%, m. 138-40°; 3-pyridyl 62%, m. 185-6°; 1-piperidyl 80%, m. 68-9° (from Me2CO); 3-quinoxalyl 76%, m. 205-6°; and 2-pyrazinyl 40%, m. 190-2°. Et N-pyrazinoyl-β-alanate (II) (1 g.) in 25 cc. MeOH saturated with NH3 at 0° gave 55% β-(N'-pyrazinoylamino)propionamide, m. 206-8°. Me pyrazinoate (III) (5.0 g.), 7.5 g. HO(CH2)2NHCH2CH2NH2, and 30 cc. absolute EtOH refluxed 60 hrs. gave 84% N-(2-hydroxyethyl)-N'-pyrazinoylethylene-diamine, m. 107-8°. Similarly were prepared from III and iso-BuNH2, N-isobutylpyrazinamide, m. 63-4° (from C6H6-EtOH); and from III and p-MeOC6H4CH2NH2, 50% N-(p-methoxybenzyl)pyrazinamide m. 134-6°. By ammonolysis of the appropriate, substituted pyrazinoates were prepared the following substituted pyrazinamides (substituents given): 6-Me, 83%, m. 204-5° (from EtOH); 3-H2N ,50%, m. 237-9°; 3-amino-6-bromo (IV) 80%, m. 215-17°; 3-HO, m. 265° (decomposition). 2,3-Pyrazinedicarboxamide (V) m. 240°, (decomposition); 2,6-isomer, 90%, m. 300° (decomposition); 6-Me derivative of IV, 80%, m. 215-17°. To 15 g. H2N(CH2)2-CH:CHCO2H and 5.2 g. NaOH in 100 cc. ice-cold H2O were added simultaneously during 30 min. with stirring 9 g. NaOH in 50 cc. H2O and 10 g. I in 50 cc. C6H6, the mixture was stirred 30 min. at room temperature, the C6H6 removed in vacuo, and the resulting aqueous solution acidified with 6N HCl to give 70% 5-pyrazinoylamino-2-pentenoic acid, m. 200-1°. By the same procedure but with NaHCO3 were prepared 70% di-Et N-pyrazinoylaspartate, m. 64-5°, and 50% II, m. 87-9°. Cyanopyrazine (VI), b6-7 86-7°, (21.9 g.) in 125 cc. dry Et2O and 8.4 g. absolute MeOH saturated with HCl at 0° and the mixture let stand 15 hrs. at room temperature gave 25.6 g. Me pyrazinimidate-2HCl, m. above 150° (with darkening and decomposition); this was added to 600 cc. ice-cold 8% alc. NH3, the mixture shaken 1 day at room temperature, filtered, the filtrate evaporated to dryness in vacuo, and the solid residue boiled briefly with 125 cc. Me2CO, filtered, and crystallized from EtOH to give 6 g. pyrazinecarboxamidine-HCl, m. 215-18° (decomposition); picrate, m. 221-4°. VI (15 g.) in 200 cc. saturated, alc. NH3 saturated with H2S and the mixture let stand overnight at room temperature yielded 90% thiocarbamyl-pyrazine, m. 195-6°. To 13.8 g. III and 7 g. NH2OH.HCl in 50 cc. ice-water was added 16 cc. 12.5 N NaOH, and the mixture let stand 15 min. in an ice bath and neutralized with HCl to give 72% pyrazinohydroxamic acid, m. 163-5° (from H2O), gives a wine color with alc. FeCl3. Pyrazinamide (VII) (21 g.), 84 cc. AcOH, and 210 cc. 30% H2O2 heated 34 hrs. at 56° gave 45% pyrazinoic acid 4-oxide, m. 292-3° (decomposition) (from AcOH), also obtained by similar oxidation of VI. VII (10 g.) and 17 g. MeI refluxed 12 hrs. in 100 cc. MeOH yielded 38% 3-carbamyl-1-methylpyrazinium iodide (VIII), m. 192-202° (from H2O). VII (4 g.) refluxed 1.25 hrs. with 20 cc. Ac2O gave 55% N-Ac derivative (IX), of VII, m. 92-7°. VII (15 g.), 18 cc. aqueous CH2O, and 0.2 g. K2CO3 heated on a steam bath until a clear solution was formed yielded 80% N-(hydroxymethyl)pyrazinamide, m. 129-36.5°. 1-Phenylsulfonyl-2-pyrazinoylhydrazine (X) 86% was obtained from PhSO2Cl and pyrazinoic acid hydrazide(XI),m. 169°. Dry X(10g.)and 18g. finely powdered Na2CO3 heated at 150-70° and 35 mm. pressure, and the vapors bubbled through 3% aqueous H2NC(:S)NHNH2 gave 9% pyrazinaldehyde thiosemicarbazone (XII), m. 237-9° (decomposition). XI (2.8 g.) and 3.3 g. p-AcNHC6H4CHO

in 100 cc. absolute EtOH refluxed 5 min. yielded 92% pyrazinoic acid (p-acetamidobenzylidene)hydrazide, m. above 250°. To MeMgI (from 50 g. MeI and 9 g. Mg) in 300 cc. dry Et2O was added dropwise over 20 min. 13 g. VI in 150 cc. Et2O, and the mixture poured on ice and acidified to give 77% acetylpyrazine (XIII), m. 76-8° (from Et2O); thiosemicarbazone (XIV), 67%, m. 226-7° (decomposition); oxime, 50%, m. 113-15° (sublimed at 100° and 0.05 mm.). Powdered S (1.5 g.) in 15 cc. concentrated NH4OH saturated with H2S, 3.0 g. XIII, and 12 cc. dioxane heated 24 hrs. in a sealed tube at 170° gave 0.2 g. pyrazinacetamide, m. 108-10° (from EtOH-petr. ether). XIII (12.2 g.), 5.2 g. S, and 15 cc. morpholine refluxed 6 hrs. yielded 80% 4-(2-pyrazinylthioacetyl)morpholine, m. 92-3°. HCl passed through 29.6 g. pyrazinyldiazomethyl ketone (XV) in 600 cc. dry Et2O until the N evolution ceased gave 30% (chloroacetyl)pyrazine, m. 85-6°; thiosemicarbazone, 30%, m. 222-4°. To 30 cc. glacial AcOH was added at 50° in portions 6.4 g. XV, and, after all the N had been evolved, 0.5 g. KOAc, the mixture heated 1 hr. at 100°, and the AcOH distilled off in vacuo to yield 10% (acetoxyacetyl)pyrazine, m. 67-8°. VI (5.1 g.), 3.3 g. NaN3, 10 cc. glacial AcOH and 15 cc. iso-PrOH autoclaved 5 days at 150° gave 30% 5-pyrazinyl-1H-tetrazole, m. 182-4°. Concentrated aqueous solns. of 2-aminopyrazine and KSCN mixed and acidified during 1 hr. with 1 molar equivalent HCl gave 80% 1-pyrazinyl-2-thiourea, m. 128°. PhONa (36 g.) and 36 g. chloropyrazine refluxed 13 hrs. yielded 72% Ph pyrazinyl ether, m. 50-2°. 3-Methyl-2-quinoxalincarboxaldehyde thiosemicarbazone (XVI), m. 251-2° (decomposition) was obtained in 30% yield by refluxing the components 2 hrs. in absolute EtOH. All above mentioned pyrazine derivs. were tested in a standardized mouse test for T. B. activity at the arbitrary level of 0.2% of diet (8 mg./day), with survival as a criterion. VII, m. 189-91°, was highly active, and IX and XII showed a slight activity. All others were inactive; IV, V, VIII, X, XI, XII, and XIV were also toxic. The following addnl. pyrazine derivs. (substituents and m.ps. given) were also tested and found inactive: CO2H, 225-6°; C(OAc):NH.2HCl, 180°; CO2-Me.HCl, 46°; 6,2-Me(HO2C), 138-40°; 2,3-(HO2C)2, 179-82°; 2,3-CONHCO-, m. 245°; and 6,2,3-Me(HO2C)2, 43.4°. XVI, 2-chloro-3-quinoxalinecarboxamide (XVII), m. 207-9°, and its N-PhCH2 derivative did not exhibit T.B. activity in the above test.

IT **550305-45-8**, Pyrazinamide, N,N-dibutyl-
(preparation of)

RN 550305-45-8 HCAPLUS

CN Pyrazinecarboxamide, N,N-dibutyl- (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 08:45:29 ON 26 MAY 2005)

FILE 'HCAPLUS' ENTERED AT 08:45:39 ON 26 MAY 2005

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L1          115 S YATVIN M?/AU
L2          216 S PEDERSON R?/AU
L3          325 S L1-L2
L4            5 S L3 AND ?MYCOBACTERI?
                SELECT L4 RN 1-5

     FILE 'REGISTRY' ENTERED AT 08:48:19 ON 26 MAY 2005
L5           74 S E1-E74
L6            1 S L5 AND C7H7N3O3/MF
L7            1 S L5 AND C9H13N3O/MF
L8            1 S L5 AND C7H9N3O/MF
L9            1 S L5 AND C5H5N3O/MF
L10           4 S L6-L9

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     FILE 'REGISTRY' ENTERED AT 09:19:01 ON 26 MAY 2005

     FILE 'HCAPLUS' ENTERED AT 09:22:37 ON 26 MAY 2005
L11           9 S BRIDGEHEAD(3A)CYCLOALKYL
L12           2 S L11 AND ALICYCLIC
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                DELETE SELECT
                SELECT L12 RN 1-2

     FILE 'REGISTRY' ENTERED AT 09:26:25 ON 26 MAY 2005
L13          33 S E1-E33
L14          25 S L13 AND PENTALEN?

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L15           6 S L14
L16           3 S L15 AND BRIDGEHEAD

     FILE 'REGISTRY' ENTERED AT 09:32:05 ON 26 MAY 2005
L17             STR

     FILE 'HCAPLUS' ENTERED AT 09:37:23 ON 26 MAY 2005
L18        1027 S CYCLOALKOXY?
L19           3 S L18 AND CAMPTOTHECIN?
                S 170368-60-2/REG#

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L20           1 S 170368-60-2/RN

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     FILE 'REGISTRY' ENTERED AT 09:42:07 ON 26 MAY 2005
L21          50 S L17 SAM

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L22          19 S L21
L23           1 S L22 AND ?MYCOBACTERI?
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L25       14819 S L17 FUL

     FILE 'HCAPLUS' ENTERED AT 10:01:09 ON 26 MAY 2005
L26        7859 S L25
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L27         420 S L26 AND ?MYCOBACTERI?

     FILE 'REGISTRY' ENTERED AT 10:02:44 ON 26 MAY 2005
L28               STR L17
L29          47 S L28 SAM SUB=L25
L30         965 S L28 FUL SUB=L25

     FILE 'HCAPLUS' ENTERED AT 10:23:39 ON 26 MAY 2005
L31         250 S L30
L32           1 S L31 AND ?MYCOBACTER?

     FILE 'REGISTRY' ENTERED AT 10:25:39 ON 26 MAY 2005
L33               STR L28
L34          42 S L33 SAM SUB=L30
L35         872 S L33 FUL SUB=L30

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L36         231 S L35
L37           1 S L36 AND ?MYCOBACTERI?
L38           3 S L36 AND TUBERCUL?
L39         228 S L36 NOT (L37 OR L38)
L40         151 S L39 NOT (PY>2001 OR PRY>2001 OR AY>2001)
L41          14 S L40 AND (ANTIBIOTIC? OR ANTIBACTERIAL?)
L42           1 S L40 AND INHIBIT?(5A)ENZYM?
                E MYCOBAC
                E MYCOBACT/CT
L43          27 S E5+OLD,NT,RT,PFT
L44       25688 S E23+OLD,NT,RT,PFT
L45           0 S L40 AND (L43 OR L44)
L46         136 S L40 NOT (L41 OR L42)
L47          23 S L46 AND BACTERICID?
L48          46 S L4 OR L37 OR L38 OR L41 OR L42 OR L47
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